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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/Cplus
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 15 APR 26 LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 11:01:52 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 11:03:14 ON 28 APR 2004
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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

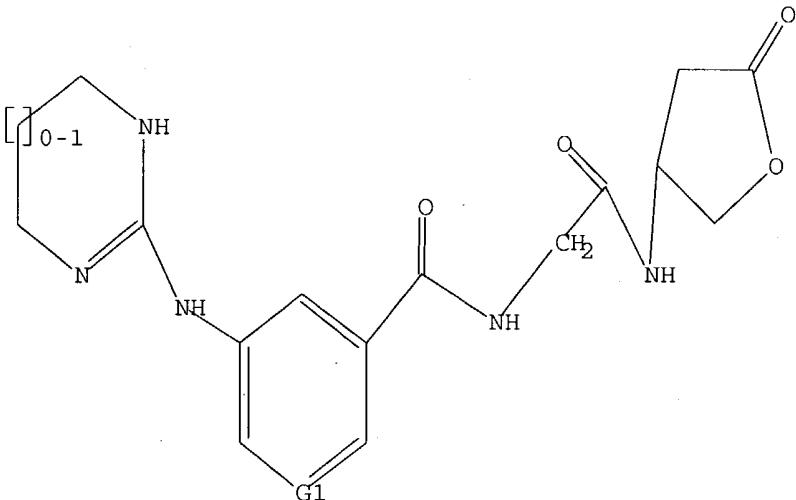
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
 Uploading c:\program files\stnexp\queries\10717238.5

L1 STRUCTURE UPLOADED

=> d 11
 L1 HAS NO ANSWERS
 L1 STR



G1 N,CH
 G2 O,S,NH
 G3 NH,NH₂,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full
 FULL SEARCH INITIATED 11:03:40 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 9 ANSWERS
 SEARCH TIME: 00.00.01

L2 9 SEA SSS FUL L1

=> file marpat
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 155.42 155.84

FILE 'MARPAT' ENTERED AT 11:03:48 ON 28 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
 DE 10335606 11 MAR 2004
 EP 1403278 31 MAR 2004
 JP 2004099560 02 APR 2004
 WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 11:03:53 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 1779 TO ITERATE

100.0% PROCESSED 1779 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.19

L3 1 SEA SSS FUL L1

=> file caold
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 109.42 265:26

FILE 'CAOLD' ENTERED AT 11:04:23 ON 28 APR 2004
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 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:04:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L4 9 SEA SSS FUL L1

L5 0 L4

=> file caplus
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FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:01:52 ON 28 APR 2004)

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FILE 'CAOLD' ENTERED AT 11:04:23 ON 28 APR 2004
S L1

FILE 'REGISTRY' ENTERED AT 11:04:28 ON 28 APR 2004
L4 9 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:04:28 ON 28 APR 2004
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FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004

=> S 12
L6 2 L2

=> S 13
L7 1 L3

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=> d 16 fbib hitstr abs total
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L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256240 CAPLUS

DN 136:279118
TI Preparation and use of amido-hydroxy-carboxylic acid integrin antagonists
IN Rogers, Thomas; Penning, Thomas D.; Jiang, Lan; Devadas, Balekudru;
Ruminiski, Peter; Chester, Yuan; Vancamp, Jennifer
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 64 pp.
CODEN: PIIXXD2

DT Patent

LA English

FAN, CNT 1

PATEI

— 7 —

PT WO 2002026

WO 2002026717 AZ 200220404 WO 2001-0530189 20010927

WS 2002026717 CI 20021227
WO 2002026717 A3 20020912

WS 2002020717 A5 20020312
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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 JP 2004509950 T2 20040402 JP 2002-531101 20010927
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 WO 2001-US30189W 20010927
 US 2004024062 A1 20040205 US 2003-381825 20030327
 WO 2001-US30189W 20010927

OS MARPAT 136:279118

IT **406682-41-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and use of amido-hydroxy-carboxylic acid integrin antagonists)

RN 406682-41-5 CAPLUS

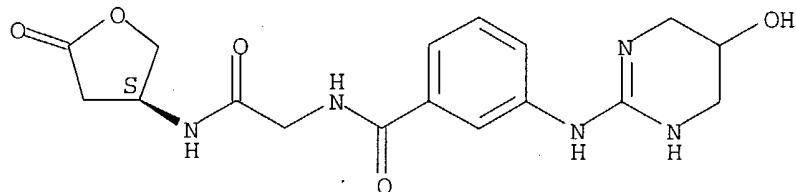
CN Benzamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406682-40-4

CMF C17 H21 N5 O5

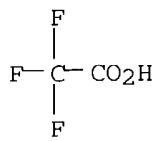
Absolute stereochemistry.



CM 2

CRN 76-05-1

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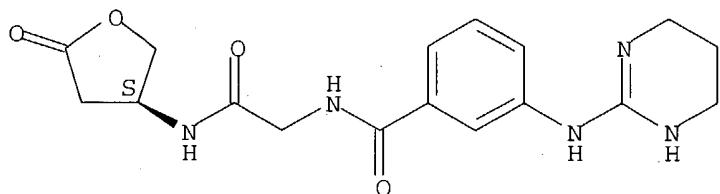
IT 406682-46-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation and use of amido-hydroxy-carboxylic acid integrin antagonists)

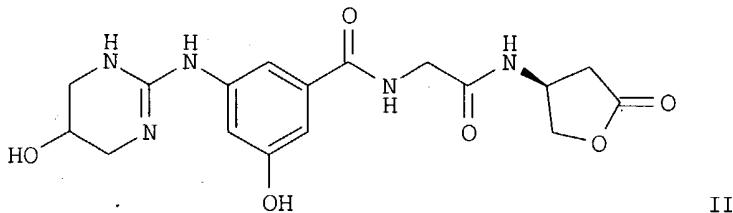
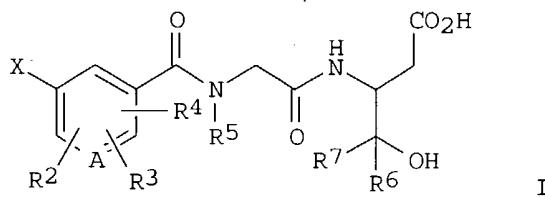
RN 406682-46-0 CAPLUS

CN Benzamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl,

arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH₂Cl₂, DCC, NMM, 18 h) to give intermediate lactone II isolated as the TFA salt. The desired hydroxy acid was obtained by hydrolysis and isolation at a final pH of approx. 8. Example compds. had IC₅₀ = 0.1 nM - 100 nM for the αvβ3 integrin and IC₅₀ < 50μM for the αvβ5 integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:256040 CAPLUS
 DN 136:279325
 TI Preparation and use of amido-lactone integrin antagonists
 IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
 PA Pharmacia Corporation, USA
 SO PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026227	A1	20020404	WO 2001-US30194	20010927
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2000-241633PP	20001010
US	2002045645	A1	20020418	US 2001-963926	20010926
US	6720327	B2	20040413	US 2000-235617PP	20000927
				US 2000-241633PP	20001019
EP	1320363	A1	20030625	EP 2001-975450	20010927
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				US 2000-241633PP	20001010
US	2004019206	A1	20040129	WO 2001-US30194W	20010927
				US 2003-381831	20030327
				WO 2001-US30194W	20010927

OS MARPAT 136:279325

IT 406682-40-4P 406682-41-5P 406682-46-0P
406703-18-2P 406703-27-3P 406703-30-8P
406703-31-9P 406703-35-3P 406703-36-4P

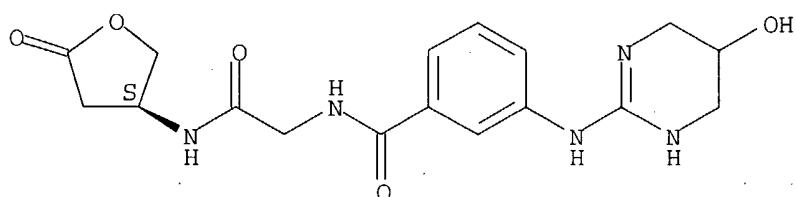
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of amido-lactone integrin antagonists)

RN 406682-40-4 CAPLUS

CN Benzamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406682-41-5 CAPLUS

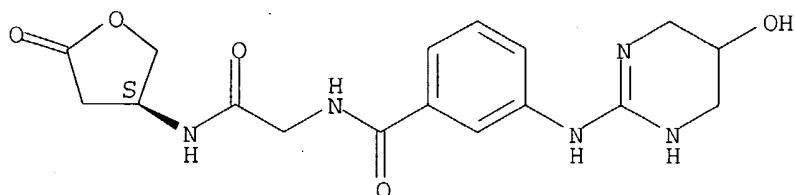
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CRN 406682-40-4

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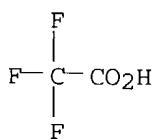
Absolute stereochemistry.



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CRN 76-05-1

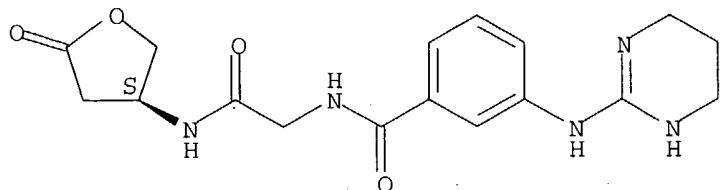
CMF C2 H F3 O2



RN 406682-46-0 CAPLUS

CN Benzamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406703-18-2 CAPLUS

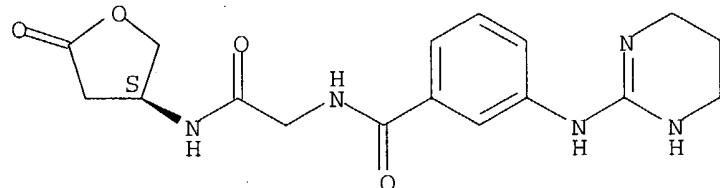
CN Benzamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 406682-46-0

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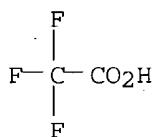
Absolute stereochemistry.



CM 2

CRN 76-05-1

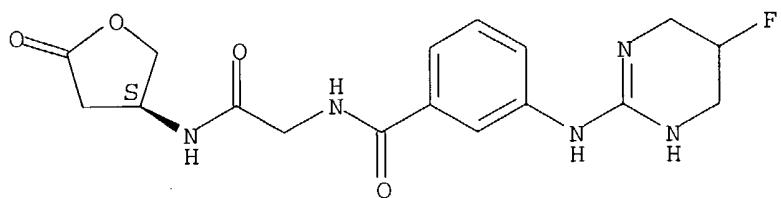
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RN 406703-27-3 CAPLUS

CN Benzamide, 3-[(5-fluoro-1,4,5,6-tetrahydro-2-pyrimidinyl)amino]-N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]- (9CI) (CA INDEX NAME)

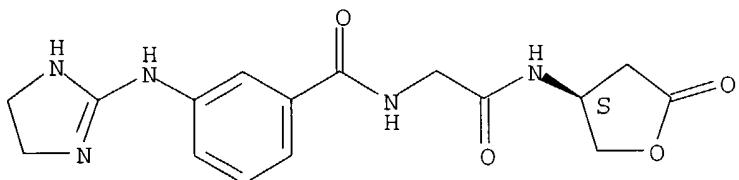
Absolute stereochemistry.



RN 406703-30-8 CAPLUS

CN Benzamide, 3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406703-31-9 CAPLUS

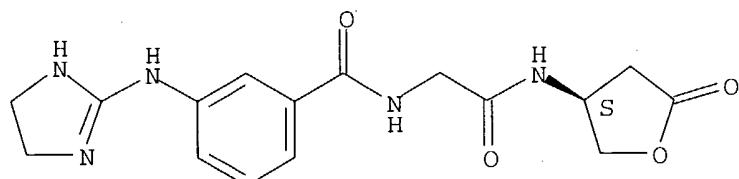
CN Benzamide, 3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl] -, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 406703-30-8

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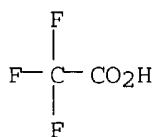
Absolute stereochemistry.



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CRN 76-05-1

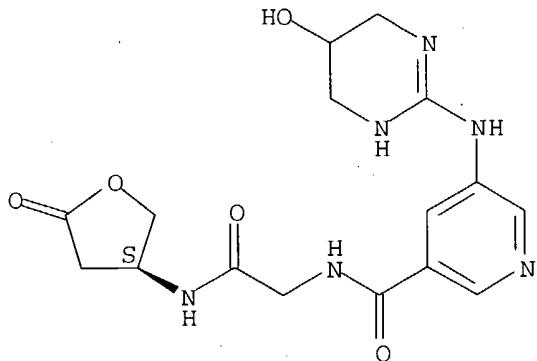
CMF C2 H F3 O2



RN 406703-35-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 406703-36-4 CAPLUS

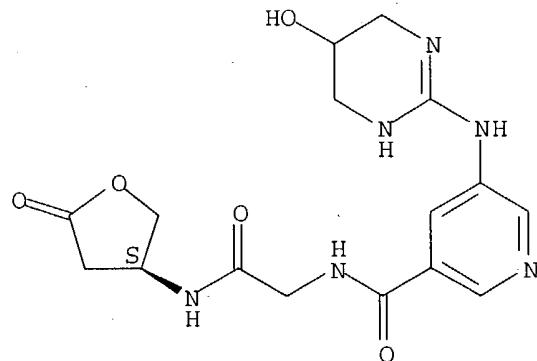
CN 3-Pyridinecarboxamide, N-[2-oxo-2-[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-, trifluoroacetate (2:3) (salt) (9CI) (CA INDEX NAME)

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CRN 406703-35-3

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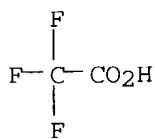
Absolute stereochemistry.



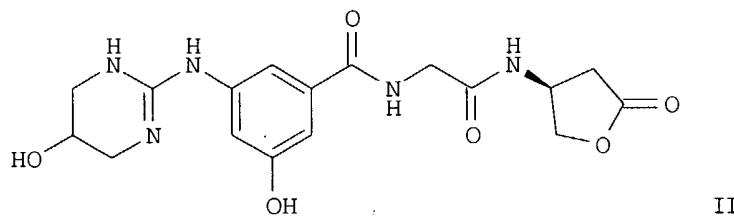
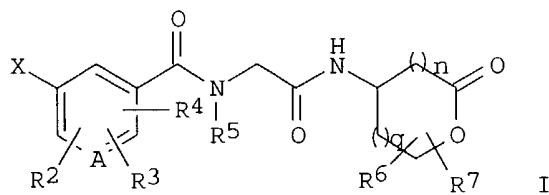
CM 2

CRN 76-05-1

CMF C2 H F3 O2



GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH₂Cl₂, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC₅₀ = 0.1 nM - 100 nM for the α v β 3 integrin and IC₅₀ < 50 μ M for the α v β 5 integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d hnls
'HNIS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
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DMAX ----- MAX, delimited for post-processing
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FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATs ----- PI, SO
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IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
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OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

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HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
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ENTER DISPLAY FORMAT (BIB):end

=> d his

(FILE 'HOME' ENTERED AT 11:01:52 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:03:14 ON 28 APR 2004

L1 STRUCTURE UPLOADED
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FILE 'MARPAT' ENTERED AT 11:03:48 ON 28 APR 2004

L3 1 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:04:23 ON 28 APR 2004

S L1

FILE 'REGISTRY' ENTERED AT 11:04:28 ON 28 APR 2004

L4 9 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:04:28 ON 28 APR 2004

L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004

L6 2 S L2
L7 1 S L3

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256040 CAPLUS

DN **136:279325**

TI Preparation and use of amido-lactone integrin antagonists
IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas;
Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2

DT Patent

LA English

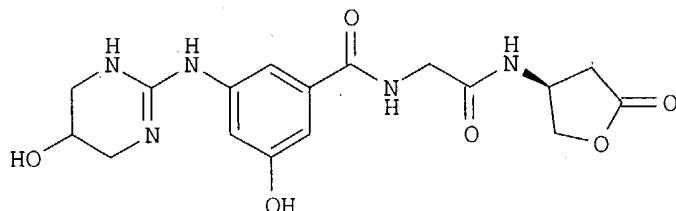
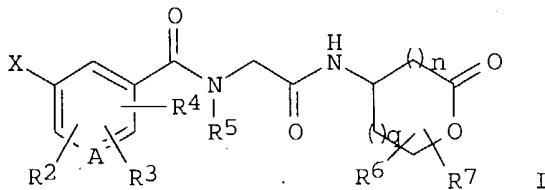
FAN.CNT 1

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PI	WO 2002026227	A1	20020404	WO 2001-US30194	20010927
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2002045645	A1	20020418	US 2000-235617PP 20000927
US 6720327	B2	20040413	US 2000-241633PP 20001010
			US 2001-963926 20010926
EP 1320363	A1	20030625	US 2000-235617PP 20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2000-241633PP 20001019
			EP 2001-975450 20010927
US 2004019206	A1	20040129	US 2000-235617PP 20000927
			US 2000-241633PP 20001010
			WO 2001-US30194W 20010927
US 2004019206	A1	20040129	US 2003-381831 20030327
			WO 2001-US30194W 20010927

OS MARPAT 136:279325

GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected

with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH₂Cl₂, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC₅₀ = 0.1 nM - 100 nM for the $\alpha v\beta 3$ integrin and IC₅₀ < 50 μ M for the $\alpha v\beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE	-2.08	-2.08

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NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/Cplus
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 15 APR 26 LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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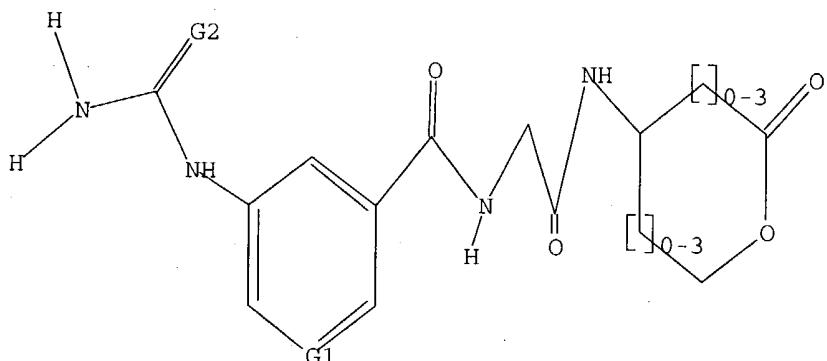
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
 Uploading c:\program files\stnexp\queries\10717238.1

L1 STRUCTURE UPLOADED

=> d l1
 L1 HAS NO ANSWERS
 L1 STR



G1 N,CH

G2 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
 FULL SEARCH INITIATED 10:20:09 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS
 SEARCH TIME: 00.00.01

0 ANSWERS

L2 0 SEA SSS FUL L1

=> file marpat
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 FULL ESTIMATED COST ENTRY SESSION
 155.42 155.63

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
 DE 10335606 11 MAR 2004
 EP 1403278 31 MAR 2004
 JP 2004099560 02 APR 2004
 WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 10:20:23 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 6715 TO ITERATE

66.8% PROCESSED 4485 ITERATIONS 0 ANSWERS
 95.5% PROCESSED 6413 ITERATIONS 2 ANSWERS
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 SEARCH TIME: 00.00.44

L3 4 SEA SSS FUL L1

=> file caold
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 FULL ESTIMATED COST ENTRY SESSION
 109.84 265.47

FILE 'CAOLD' ENTERED AT 10:21:28 ON 28 APR 2004
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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent

assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
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FULL SEARCH INITIATED 10:21:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
0.42 421.73

FILE 'CAPLUS' ENTERED AT 10:21:42 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

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L1 STRUCTURE UPLOADED
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FILE 'MARPAT' ENTERED AT 10:20:16 ON 28 APR 2004

L3 4 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:21:28 ON 28 APR 2004

S L1

FILE 'REGISTRY' ENTERED AT 10:21:34 ON 28 APR 2004

L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:21:36 ON 28 APR 2004

L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:21:42 ON 28 APR 2004

=> s 13

L6 4 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256040 CAPLUS
DN **136:279325**
TI Preparation and use of amido-lactone integrin antagonists
IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas;
 Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 2002026227	A1	20020404	WO 2001-US30194	20010927
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				US 2000-241633PP	20001019
EP	1320363	A1	20030625	EP 2001-975450	20010927

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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US 2000-241633PP 20001010

WO 2001-US30194W 20010927

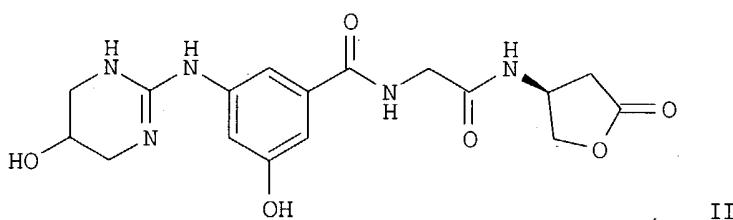
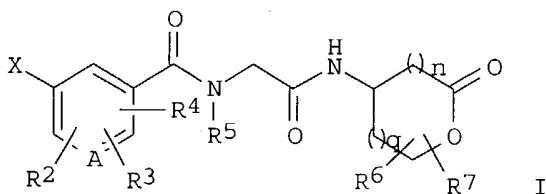
US 2004019206 A1 20040129

US 2003-381831 20030327

WO 2001-US30194W 20010927

OS MARPAT 136:279325

GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, alkylthiocarbonyl, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the α v β 3 integrin and IC50 < 50 μ M for the α v β 5 integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:338525 CAPLUS
 DN 134:353248
 TI Novel heterocyclic compounds and their use as medicines
 IN Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne
 PA Societe De Conseils De Recherches Et D'applications Scientifiques
 (S.C.R.A.S.), Fr.
 SO PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032654	A2	20010510	WO 2000-FR3067	20001103
	WO 2001032654	A3	20010927		
		W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
		RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
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				FR 2000-6535	A 20000523
	FR 2800737	A1	20010511	FR 1999-13858	19991105
	FR 2809398	A1	20011130	FR 2000-6535	20000523
	FR 2809398	B3	20020726		
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				WO 2000-FR3067	W 20001103
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				WO 2000-FR3067	W 20001103
OS	MARPAT 134:353248				
AB	Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.				

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:197524 CAPLUS

DN 128:257704

TI Preparation of methionine, penicillamine and cysteine-analog containing peptides having immunomodulating activity

IN Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt
PA Astra Aktiebolag, Swed.; Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

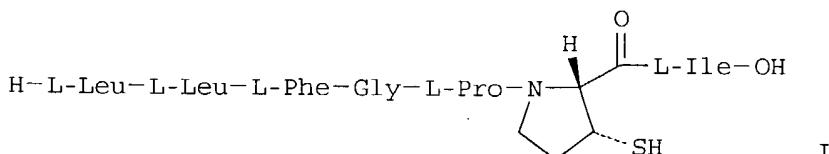
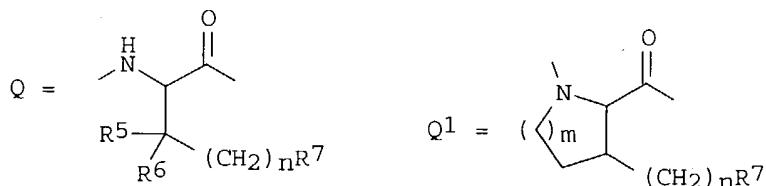
LA English

FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9812219	A1	19980326	WO 1997-SE1554	19970915
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9744063	A1	19980414	SE 1996-3468	A 19960923
				AU 1997-44063	19970915
				SE 1996-3468	A 19960923
				WO 1997-SE1554	W 19970915
	ZA 9708472	A	19980323	ZA 1997-8472	19970919
				SE 1996-3468	A 19960923

OS MARPAT 128:257704

GI



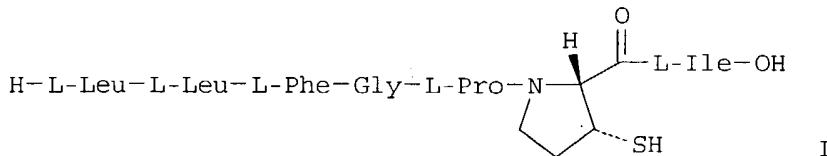
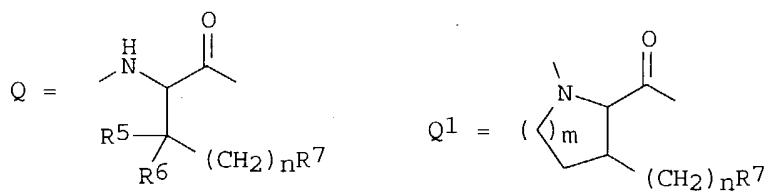
AB Physiol. active peptides A-R1-R2-R3-(R4)x-B [A = H, protective group, amino acid residue; R1 = Gly, Pro, Asp, Arg, Ala, Ile, Trp, Ser, Cys, Glu, Asn, R8; R2 = Cys, Pro, Ile, Ala, Tyr, Thr, Arg, pipecolic acid, R8; R3 = Cys, R8; R4 = Gly, Phe, Val, Ile, Lys, Pro, Trp, Tyr, Glu, Leu, Met; R5, R6 = independently H, alkyl, alkoxy, aryl; R7 = SOH, SO₂H, SO₃H, SR9,

SeR9, TeR9; R8 = residue Q, Q1; R9 = H, alkyl, alkoxy, aryl, SR10, SOR10, SO2R10; R10 = H, alkyl, alkoxy; B = OH, NH2, protected O, protected N, amino acid residue; n = 0-4; m = 0-4; x = 0-1; with provisos; the entire peptide contains 3-30 amino acid residues] and salts and homo- and heterodimers thereof are described as compds. for use in therapy as immunomodulatory agents. These peptides are absorbable by the epithelial cell lining in a mammal resulting in a modulated immune response and thereby a therapeutic effect against disease. Thus, a variety of cysteine analog peptides, e.g. I, were prepared by solid-phase methods and tested for immunomodulatory activity in a delayed type hypersensitivity test in mice.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:197519 CAPLUS
DN 128:257699
TI Preparation of cysteine analog peptides having immunomodulatory effects
IN Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt
PA Astra Aktiebolag, Swed.; Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9812214	A1	19980326	WO 1997-SE1548	19970915
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			SE 1996-3461	19960923
AU	9744059	A1	19980414	AU 1997-44059	19970915
				SE 1996-3461	19960923
				WO 1997-SE1548	19970915
OS	MARPAT 128:257699				
GI					



AB Physiol. active peptides A-R1-R2-R3-(R4)x-B [A = H, protective group, amino acid residue; R1 = Gly, Pro, Asp, Arg, Ala, Ile, Trp, Ser, Cys, Glu, Asn, R8; R2 = Cys, Pro, Ile, Ala, Tyr, Thr, Arg, pipecolic acid, R8; R3 = Cys, R8; R4 = Gly, Phe, Val, Ile, Pro, Trp, Tyr, Glu, Lys, Leu, Met; R5, R6 = independently H, alkyl, alkoxy, aryl; R7 = SOH, SO2H, SO3H, SR9, SeR9, TeR9; R8 = residue Q, Q1; R9 = H, alkyl, alkoxy, aryl, SR10, SOR10, SO2R10; R10 = H, alkyl, alkoxy; B = OH, NH2, protected O, protected N, amino acid residue; n = 0-4; m = 0-4; x = 0-1; with the provisos that at least one of R1-R3 = R8 and at most one of R1-R3 = Cys; the entire peptide contains 3-30 amino acid residues] and salts and homo- and heterodimers thereof are described as compds. for use in therapy as immunomodulatory agents. These peptides are absorbable by the epithelial cell lining in a mammal resulting in a modulated immune response and thereby a therapeutic effect against disease. Thus, a variety of cysteine analog peptides, e.g. I, were prepared by solid-phase methods and tested for immunomodulatory activity in a delayed type hypersensitivity test in mice.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y			
COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
FULL ESTIMATED COST	ENTRY	SESSION	
	11.04	432.77	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
CA SUBSCRIBER PRICE	ENTRY	SESSION	
	-2.77	-2.77	

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/Cplus
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 15 APR 26 LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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NEWS WWW CAS World Wide Web Site (general information)

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=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST	ENTRY	SESSION
	0.21	0.21

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

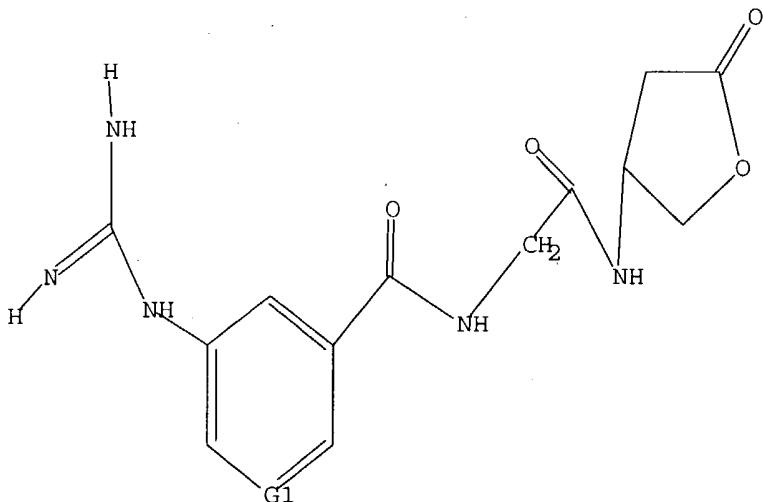
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
 Uploading c:\program files\stnexp\queries\10717238.6

L1 STRUCTURE UPLOADED

=> d 11
 L1 HAS NO ANSWERS
 L1 STR



G1 N,CH
 G2 O,S,NH
 G3 NH,NH2,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full
 FULL SEARCH INITIATED 11:08:51 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file marpat
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 155.42 155.63

FILE 'MARPAT' ENTERED AT 11:08:57 ON 28 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
 DE 10335606 11 MAR 2004
 EP 1403278 31 MAR 2004
 JP 2004099560 02 APR 2004
 WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 11:09:08 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 2361 TO ITERATE

99.7% PROCESSED 2353 ITERATIONS 1 ANSWERS
 100.0% PROCESSED 2361 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.26

L3 1 SEA SSS FUL L1

=> file caold
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 109.84 265.47

FILE 'CAOLD' ENTERED AT 11:10:20 ON 28 APR 2004
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
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FULL SEARCH INITIATED 11:10:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
0.42 421.73

FILE 'CAPLUS' ENTERED AT 11:10:31 ON 28 APR 2004

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18

FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

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=> d his

(FILE 'HOME' ENTERED AT 11:07:41 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:08:16 ON 28 APR 2004

L1 STRUCTURE UPLOADED
L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:08:57 ON 28 APR 2004

L3 1 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:10:20 ON 28 APR 2004

S L1

FILE 'REGISTRY' ENTERED AT 11:10:26 ON 28 APR 2004

L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:10:27 ON 28 APR 2004

L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:10:31 ON 28 APR 2004

=> s 13

L6 1 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256040 CAPLUS

DN **136:279325**

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

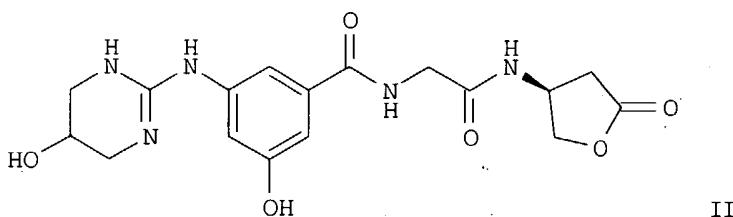
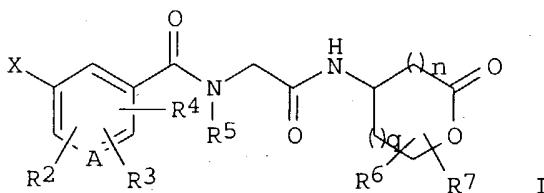
FAN.CNT 1

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PI	WO 2002026227	A1	20020404	WO 2001-US30194	20010927	
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		US 2000-235617PP	20000927	
				US 2000-241633PP	20001010	

US 2002045645	A1	20020418	US 2001-963926	20010926
US 6720327	B2	20040413	US 2000-235617PP	20000927
US 2000-241633PP 20001019				
EP 1320363	A1	20030625	EP 2001-975450	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2000-235617PP 20000927				
US 2000-241633PP 20001010				
WO 2001-US30194W 20010927				
US 2004019206	A1	20040129	US 2003-381831	20030327
WO 2001-US30194W 20010927				

OS MARPAT 136:279325

GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha\beta 3$ integrin and IC50 < 50 μ M for the $\alpha\beta 5$.

integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.98	424.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.69	-0.69

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=> file req

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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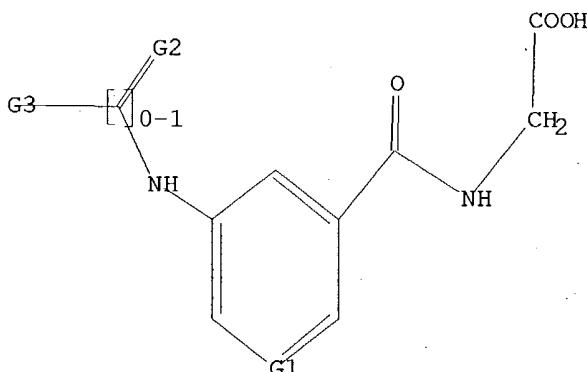
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
 Uploading c:\program files\stnexp\queries\10717238.2

L1 STRUCTURE UPLOADED

=> d 11
 L1 HAS NO ANSWERS
 L1 STR



G1 N,CH
 G2 O,S,NH
 G3 NH,NH2,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full
 FULL SEARCH INITIATED 10:34:17 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 3987 TO ITERATE

100.0% PROCESSED 3987 ITERATIONS 41 ANSWERS
 SEARCH TIME: 00.00.01

L2 41 SEA SSS FUL L1

=> file marpat
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 FULL ESTIMATED COST ENTRY SESSION
 155.42 155.63

FILE 'MARPAT' ENTERED AT 10:34:24 ON 28 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
 DE 10335606 11 MAR 2004
 EP 1403278 31 MAR 2004
 JP 2004099560 02 APR 2004
 WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new,
 higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 10:34:30 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 48637 TO ITERATE

19.6% PROCESSED	9528 ITERATIONS	(1 INCOMPLETE)	16 ANSWERS
37.5% PROCESSED	18246 ITERATIONS	(1 INCOMPLETE)	26 ANSWERS
67.1% PROCESSED	32622 ITERATIONS	(2 INCOMPLETE)	49 ANSWERS
77.8% PROCESSED	37841 ITERATIONS	(2 INCOMPLETE)	55 ANSWERS
84.9% PROCESSED	41276 ITERATIONS	(2 INCOMPLETE)	63 ANSWERS
92.1% PROCESSED	44808 ITERATIONS	(3 INCOMPLETE)	65 ANSWERS
94.6% PROCESSED	45988 ITERATIONS	(3 INCOMPLETE)	66 ANSWERS
97.9% PROCESSED	47636 ITERATIONS	(3 INCOMPLETE)	71 ANSWERS
100.0% PROCESSED	48637 ITERATIONS	(3 INCOMPLETE)	71 ANSWERS

SEARCH TIME: 00.02.45

L3 71 SEA SSS FUL L1

=> file caold	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	111.52	267.15

FILE 'CAOLD' ENTERED AT 10:37:55 ON 28 APR 2004
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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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=> s 11 sss full
REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
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FULL SEARCH INITIATED 10:38:02 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 3987 TO ITERATE

100.0% PROCESSED 3987 ITERATIONS 41 ANSWERS
 SEARCH TIME: 00.00.01

L4 41 SEA SSS FUL L1

L5 0 L4

=> file caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.42	423.41

FILE 'CAPLUS' ENTERED AT 10:38:08 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 71 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:37:55 ON 28 APR 2004

S L1

FILE 'REGISTRY' ENTERED AT 10:38:02 ON 28 APR 2004

L4 41 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:38:03 ON 28 APR 2004

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FILE 'CAPLUS' ENTERED AT 10:38:08 ON 28 APR 2004

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=> s 13
L7 71 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256040 CAPLUS
DN 136:279325
TI Preparation and use of amido-lactone integrin antagonists
IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas;
Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026227	A1	20020404	WO 2001-US30194	20010927
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2000-235617PP	20000927
				US 2000-241633PP	20001010
US	2002045645	A1	20020418	US 2001-963926	20010926
US	6720327	B2	20040413		
				US 2000-235617PP	20000927
				US 2000-241633PP	20001019
EP	1320363	A1	20030625	EP 2001-975450	20010927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2000-235617PP	20000927
				US 2000-241633PP	20001010
US	2004019206	A1	20040129	WO 2001-US30194W	20010927
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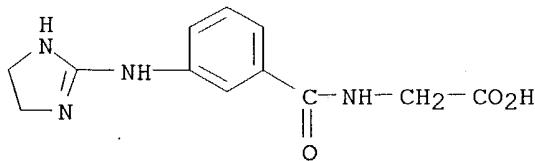
OS MARPAT 136:279325

IT **406703-74-0 406703-76-2**

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation and use of amido-lactone integrin antagonists)

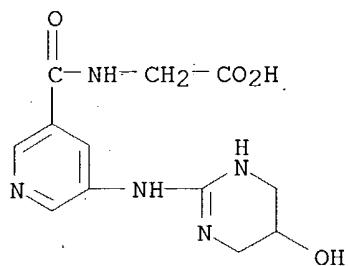
RN 406703-74-0 CAPLUS

CN Glycine, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

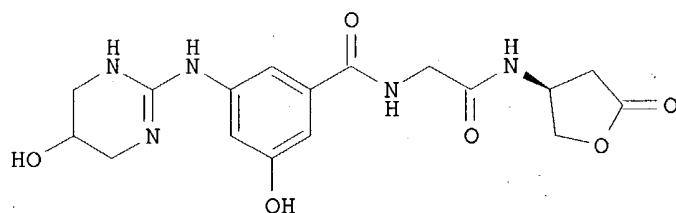
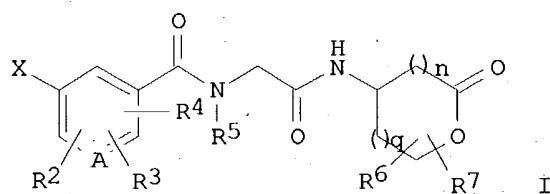


RN 406703-76-2 CAPLUS

CN Glycine, N-[5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH₂C₁₂, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC₅₀ = 0.1 nM - 100 nM for

the $\alpha v\beta 3$ integrin and IC50 < 50 μ M for the $\alpha v\beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:521916 CAPLUS
DN 135:107152
TI Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists
IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony
Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
PA Smithkline Beecham Corp., USA
SO U.S., 51 pp., Cont.-in-part of U.S. 58,86,044.
CODEN: USXXAM

DT Patent
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262113	B1	20010717	US 1998-125279	19980814
				US 1996-641990	A219960320
				WO 1996-US13632W	19960821
	US 5886044	A	19990323	US 1996-641990	19960320
				US 1995-390260	B219950217
	WO 9729743	A1	19970821	WO 1996-US13632	19960821
				W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
				WO 1996-US2260	A 19960216
				WO 1996-US2260	A219960216
	US 2002128321	A1	20020912	US 2001-871076	20010531
				WO 1996-US13632W	19960821
				US 1998-125279	A319980814

PATENT FAMILY INFORMATION:

FAN 1996:643902

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9625157	A1	19960822	WO 1996-US2260	19960216
				W: JP, US	
				RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	
				US 1995-390260	A219950217
	EP 809492	A1	19971203	EP 1996-906547	19960216
				R: BE, CH, DE, DK, FR, GB, IT, LI, NL	
				US 1995-390260	A 19950217
				WO 1996-US2260	W 19960216
	JP 11503110	T2	19990323	JP 1996-525199	19960216
				US 1995-390260	A 19950217
				WO 1996-US2260	W 19960216
	CA 2432662	AA	19970821	CA 1996-2432662	19960821
				WO 1996-US2260	A 19960216
				CA 1996-2245927A3	19960821
	WO 9729743	A1	19970821	WO 1996-US13632	19960821
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KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
WO 1996-US2260 A 19960216			
WO 1996-US2260 A219960216			
AU 9669007	A1	19970902	AU 1996-69007 19960821
AU 725456	B2	20001012	WO 1996-US2260 A 19960216
EP 896531	A1	19990217	WO 1996-US13632W 19960821
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WO 1996-US2260 W 19960216			
WO 1996-US13632W 19960821			
CN 1215990	A	19990505	CN 1996-180245 19960821
JP 2000504722	T2	20000418	WO 1996-US2260 A 19960216
JP 1997-529318 19960821			
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WO 1996-US13632W 19960821			
NZ 316710	A	20000526	NZ 1996-316710 19960821
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BR 9612779	A	20001024	BR 1996-12779 19960821
WO 1996-US2260 A 19960216			
WO 1996-US13632W 19960821			
US 6005008	A	19991221	US 1997-894291 19970815
US 6211373	B1	20010403	WO 1996-US2260 W 19960216
US 1998-111663 19980708			
US 1995-390260 B119950217			
WO 1996-US2260 W 19960216			
US 1996-641990 A319960320			
US 1996-701299 A319960821			
NO 9803737	A	19981014	NO 1998-3737 19980814
US 1995-390260 A 19950217			
WO 1996-US2260 W 19960216			
US 6180675	B1	20010130	US 1999-240354 19990129
US 1995-390260 B219950217			
WO 1996-US2260 A219960216			
US 1996-641990 A319960320			
FAN 1998:123975			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI WO 9806262	A1	19980219	WO 1997-US14825 19970815
W: JP, US			
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
US 1996-23991P P 19960815			
CA 2245927	AA	19970821	CA 1996-2245927 19960821
US 1996-23991P P 19960815			
EP 920253	A1	19990609	EP 1997-939515 19970815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
IE, FI			
US 1996-23991P P 19960815			
WO 1997-US14825W 19970815			
JP 2001527519	T2	20011225	JP 1998-510117 19970815
US 1996-23991P P 19960815			
WO 1997-US14825W 19970815			

FAN 1998:479029

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	US 5780483	A	19980714	US 1996-701299	19960821
	US 5886044	A	19990323	US 1995-390260	B219950217
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FAN 1999:205323

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	US 5886044	A	19990323	US 1996-641990	19960320
	US 5780483	A	19980714	US 1995-390260	B219950217
	US 6211373	B1	20010403	US 1996-701299	19960821
				US 1995-390260	B219950217
				US 1996-641990	A219960320
				US 1998-111663	19980708
				US 1995-390260	B119950217
				WO 1996-US2260	W 19960216
				US 1996-641990	A319960320
				US 1996-701299	A319960821
	US 6262113	B1	20010717	US 1998-125279	19980814
	US 6180675	B1	20010130	US 1996-641990	A219960320
				WO 1996-US13632W	19960821
				US 1999-240354	19990129
				US 1995-390260	B219950217
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				US 1996-641990	A319960320

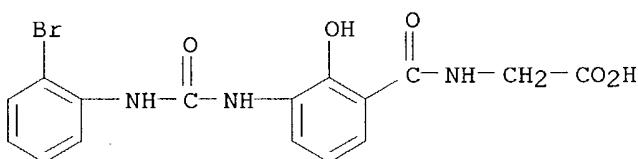
OS MARPAT 135:107152

IT 182499-00-9P

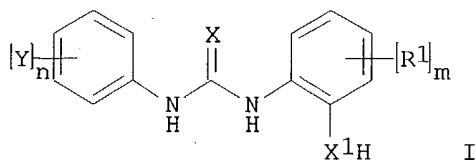
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-(9CI) (CA INDEX NAME)



GI



AB The title compds. [I; X = O; X1 = O, S; R1 = H, halo, NO₂, etc.; two R1 moieties together may form O(CH₂)_sO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO₂, etc.; two Y moieties together may form O(CH₂)_sO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 α or β receptor, were prepared. Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO₂Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC₅₀ from about 45 to about < 1 μ g/mL against IL-8 receptor binding. All of these compds. were also found to be inhibitors of Gro- α binding at about the same level.

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6	ANSWER 3 OF 15	CAPLUS	COPYRIGHT 2004 ACS on STN
AN	2000:900438	CAPLUS	
DN	134:56482		
TI	Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists		
IN	Benson, Gregory Martin; Hertzberg, Robert P.; Jurewicz, Anthony J.; Rutledge, Melvin Clarence; Veber, Daniel F.; Widdowson, Katherine L.		
PA	Smithkline Beecham Corporation, USA		
SO	PCT Int. Appl., 101 pp.		
	CODEN: PIXXD2		
DT	Patent		
LA	English		
FAN.CNT 1			
	PATENT NO.	KIND	DATE
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PI	WO 2000076495	A1	20001221
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		WO 2000-US16499 20000615
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		US 1999-139675PP 19990616
	BR 2000010802	A	20020219
			BR 2000-10802 20000615
			US 1999-139675PP 19990616
			WO 2000-US16499W 20000615
EP	1185261	A1	20020313
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		EP 2000-942843 20000615
			US 1999-139675PP 19990616
			WO 2000-US16499W 20000615
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			JP 2001-502828 20000615
			US 1999-139675PP 19990616
			WO 2000-US16499W 20000615

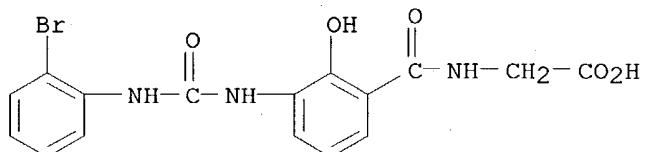
AU 766083	B2	20031009	AU 2000-57413	20000615
			US 1999-139675PP	19990616
			WO 2000-US16499W	20000615
ZA 2001009479	A	20021118	ZA 2001-9479	20011116
			US 1999-139675PP	19990616
NO 2001006053	A	20011211	NO 2001-6053	20011211
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			WO 2000-US16499W	20000615

OS MARPAT 134:56482

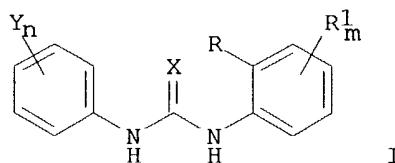
IT **182499-00-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-
(9CI) (CA INDEX NAME)

GI



AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and pKa of ≤ 10 ; R1 = H, halo, NO₂, etc.; two R1 moieties together may form O(CH₂)₂SO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO₂, etc.; two Y moieties together may form O(CH₂)₂SO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 α or β receptor, were prepared. Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO₂Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC₅₀ from about 45 to about < 1 μ g/mL against IL-8 receptor binding. All of these compds. were also found to be inhibitors of Gro- α binding at about the same level.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:335391 CAPLUS
DN 132:347569

TI Preparation gastrin and cholecystokinin receptor ligands
 IN Kalindjian, Sarkis Barret; Buck, Ildiko Maria; Linney, Ian Duncan; Wright, Paul Trevor; McDonald, Iain Mair; Steel, Katherine Isobel Mary; Hull, Robert Antony David; Roberts, Sonia Patricia; Gaffen, John David; Vinter, Jeremy Gilbert; Walker, Martin Keith; Black, James Whyte; Watt, Gillian Fairfull; Harper, Elaine Anne; Shankley, Nigel Paul; Tozer, Matthew John; Dunstone, David John; Pether, Michael John; Lilley, Elliot James; Sykes, David Andrew; Low, Caroline Minli Rachel; Griffin, Eric Peter; Wright, Laurence

PA James Black Foundation Limited, UK

SO PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000027823	A1	20000518	WO 1999-GB3733	19991109
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			GB 1999-16786	A 19990716
CA	2346108	AA	20000518	CA 1999-2346108	19991109
				GB 1998-24536	A 19981109
				GB 1999-16786	A 19990716
				WO 1999-GB3733	W 19991109
BR	9915194	A	20010807	BR 1999-15194	19991109
				GB 1998-24536	A 19981109
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				GB 1999-16786	A 19990716
				WO 1999-GB3733	W 19991109
JP	2002529455	T2	20020910	JP 2000-581003	19991109
				GB 1998-24536	A 19981109
				GB 1999-16786	A 19990716
				WO 1999-GB3733	W 19991109
NO	2001002288	A	20010702	NO 2001-2288	20010509
				GB 1998-24536	A 19981109
				GB 1999-16786	A 19990716
				WO 1999-GB3733	W 19991109
US	6479531	B1	20021112	US 2001-831385	20010802
				GB 1998-24536	A 19981109
				GB 1999-16786	A 19990716
				WO 1999-GB3733	W 19991109

OS MARPAT 132:347569

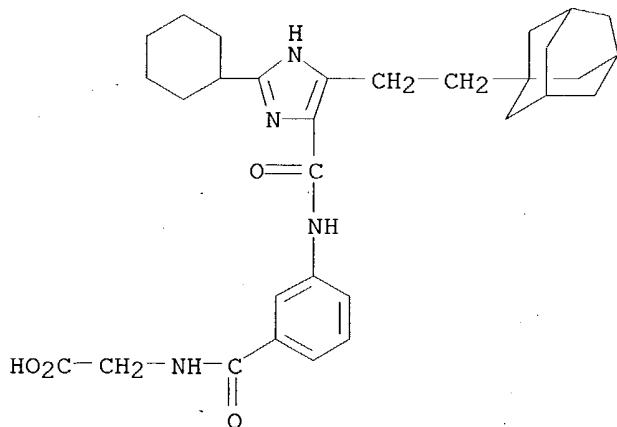
IT 269070-25-9P 269074-93-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation gastrin and cholecystokinin receptor ligands)

RN 269070-25-9 CAPLUS

CN Glycine, N-[3-[[[2-cyclohexyl-5-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-1H-imidazol-4-yl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



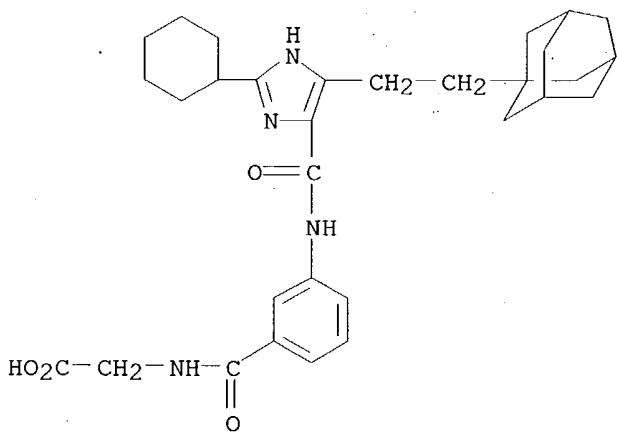
RN 269074-93-3 CAPLUS

CN Glycine, N-[3-[[[2-cyclohexyl-5-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-1H-imidazol-4-yl]carbonyl]amino]benzoyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 269070-25-9

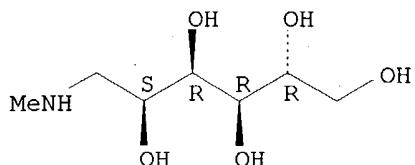
CMF C31 H40 N4 O4



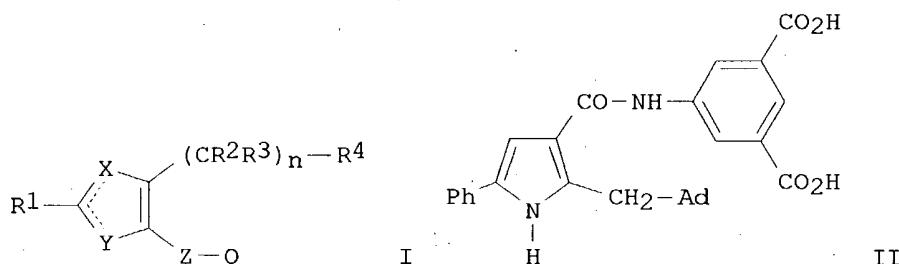
CM 2

CRN 6284-40-8
 CMF C7 H17 N 05

Absolute stereochemistry.



GI



AB Title compds. (I) [wherein X and Y = independently N, N(R5), CH, S, or O; n = 1-4; Z = (NR7)aCO(NR8)b, CONR7CH2CONR8, CO2, CH2CH2, CH=CH, CH2N(R8), or a bond; a and b = independently 0 or 1; Q = R9V (un)substituted phenyl(alkyl); V = CONHSO2Ph, SO2NHCOPh, CH2OH, etc.; R1 = H or (halo)hydrocarbyl where \leq 3 C atoms may be replaced by N, O, and/or S atoms; R2 = H, Me, Et, Pr, or OH; R3 = H, Me, Et, or Pr; or 2 adjacent R3 groups form a carbocyclic ring when n > 1; or R2 and R3 on the same C atom together = :O; R4 = (halo)hydrocarbyl where \leq 2 C atoms may be replaced by N, O, and/or S atoms; R5 = H, Me, Et, Pr, benzyl, OH, or carboxymethyl (esters); R7 and R8 = independently H, Me, Et, Pr, or benzyl; R9 = CH2, CH2CH2, or (un)substituted phenylmethylen; or R8 and R9, together with the adjacent N, form a substituted piperidine or pyrrolidine] and their pharmaceutically acceptable salts were prepared Examples include syntheses and biol. data for 314 compds. Thus, 2-adamantan-1-ylmethyl-5-phenyl-1H-pyrrole-3-carboxylic acid (3-step preparation given) was coupled with 5-aminoisophthalic acid dibenzyl ester (45%), followed by deprotection (98%) to give II. II had pKi of 6.72 for binding at the CCKB mouse cortical membranes and pKb of 6.33 for gastrin antagonist activity.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:672798 CAPLUS
 DN 131:299691
 TI Preparation of heterocyclic glycyl β -alanine derivatives as vitronectin antagonists
 IN Chandrakumar, Nizal Samuel; Desai, Bipinchandra Nanubhai; Devadas, Balekudru; Huff, Renee; Khanna, Ish K.; Rao, Shashidhar N.; Rico, Joseph

G.; Rogers, Thomas E.; Ruminski, Peter G.; Russell, Mark Andrew; Yu, Yi; Gasiecki, Alan Frank; Malecha, James W.; Miyashiro, Julie M.

PA G.D. Searle and Co., USA
SO PCT Int. Appl., 269 pp.

CODEN: PIXXD2

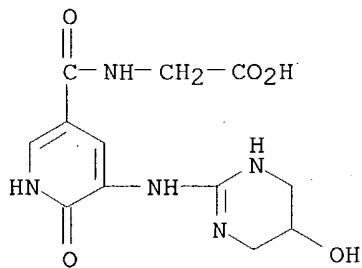
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9952896	A1	19991021	WO 1999-US4297	19990409
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US	6689754	B1	20040210	US 1998-81394P P	19980410
CA	2326665	AA	19991021	US 1998-81394P P	19980410
				CA 1999-2326665	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
AU	9934499	A1	19991101	AU 1999-34499	19990409
AU	765294	B2	20030911		
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
EP	1070060	A1	20010124	EP 1999-916119	19990409
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
BR	9910119	A	20011009	BR 1999-10119	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
JP	2002511462	T2	20020416	JP 2000-543454	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
RU	2215746	C2	20031110	RU 2000-128033	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
NZ	507292	A	20031219	NZ 1999-507292	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
NO	2000005084	A	20001127	NO 2000-5084	20001009
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
OS	MARPAT 131:299691				
IT	247101-76-4P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(preparation of heterocyclic glycyl β -alanine derivs. as vitronectin antagonists)				
RN	247101-76-4 CAPLUS				
CN	Glycine, N-[[1,6-dihydro-6-oxo-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-				

pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



AB Tile compds. A(CY3Z3)t-Het-CO-V-(CYZ)n-CONR11CHR1(CH2)pCOR [Het = (un)substituted 5-8 membered monocyclic heterocyclic ring containing 1-4 heteroatoms selected from O, N, or S, optionally unsatd. and linked to (CY3Z3)t and CO at the 1- and 3-positions; A = NR5C(:Y1)NR7R8, NR5C(:NR7)Y2, or N:C(NR2R5)(NR7R8), where Y1 = NR2, O, S; R2, R7, R8 = H, alkyl, aryl, amino, etc. or R2 and R8 taken together form an (un)substituted dinitrogen heterocycle; R5 = H, alkyl, alkenyl, alkynyl, benzyl, phenethyl; and Y2 = alkyl, cycloalkyl, bicycloalkyl, aryl, etc.; V = NR6, where R6 = H, alkyl, cycloalkyl, aralkyl, aryl, monocyclic heterocycll or R6 together with Y forms a mono-nitrogen-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl or Y and Z together or Y3 and Z3 together form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = X-R3, where X = O, S, or NR4 and R3 and R4 = H, alkyl, sugars, steroids, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.] or their pharmaceutically acceptable salts were prepared as vitronectin antagonists. Thus, 5-[(aminoiminomethyl)amino]-N-[2-[[2-carboxy-1-(3-bromo-5-chloro-2-hydroxyphenyl)ethyl]amino]-2-oxoethyl]-3-pyridinecarboxamide bis(trifluoroacetate) was prepared and showed IC50 = 1.58 nM for inhibition of human vitronectin receptor ($\alpha v \beta 3$).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:205323 CAPLUS
DN 130:267221
TI Preparation of phenylureas as IL-8 receptor antagonists
IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Phillip; Rutledge, Melvin Clarence, Jr.

PA Smithkline Beecham Corporation, USA
SO U.S., 43 pp., Cont.-in-part of U.S. Ser. No. 390,260, abandoned.
CODEN: USXXAM

DT Patent
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5886044	A	19990323	US 1996-641990	19960320
				US 1995-390260	B219950217
	US 5780483	A	19980714	US 1996-701299	19960821
				US 1995-390260	B219950217
				US 1996-641990	A219960320
	US 6211373	B1	20010403	US 1998-111663	19980708

US 6262113	B1	20010717	US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320
US 6180675	B1	20010130	

PATENT FAMILY INFORMATION:

FAN 1996:643902

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9625157	A1	19960822	WO 1996-US2260	19960216
	W: JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-390260 A219950217	
EP	809492	A1	19971203	EP 1996-906547	19960216
	R: BE, CH, DE, DK, FR, GB, IT, LI, NL			US 1995-390260 A 19950217	
JP	11503110	T2	19990323	WO 1996-US2260	W 19960216
				JP 1996-525199	19960216
CA	2432662	AA	19970821	US 1995-390260 A 19950217	
				WO 1996-US2260 W 19960216	
WO	9729743	A1	19970821	CA 1996-2432662	19960821
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			WO 1996-US2260 A 19960216	
				WO 1996-US2260 A219960216	
AU	9669007	A1	19970902	AU 1996-69007	19960821
AU	725456	B2	20001012		
EP	896531	A1	19990217	WO 1996-US2260 A 19960216	
	R: AT, ES, GR, LU, SE, MC, PT, IE, SI, LT, LV, FI			WO 1996-US13632W 19960821	
				EP 1996-929723	19960821
CN	1215990	A	19990505	WO 1996-US2260 W 19960216	
				WO 1996-US13632W 19960821	
JP	2000504722	T2	20000418	CN 1996-180245	19960821
				WO 1996-US2260 A 19960216	
NZ	316710	A	20000526	JP 1997-529318	19960821
				WO 1996-US2260 W 19960216	
BR	9612779	A	20001024	WO 1996-US13632W 19960821	
				NZ 1996-316710	19960821
				WO 1996-US2260 A 19960216	
				WO 1996-US13632W 19960821	
				BR 1996-12779	19960821
				WO 1996-US2260 A 19960216	
				WO 1996-US13632W 19960821	

US 6005008	A	19991221	US 1997-894291	19970815
US 6211373	B1	20010403	WO 1996-US2260	W 19960216
NO 9803737	A	19981014	US 1998-111663	19980708
US 6180675	B1	20010130	US 1995-390260	B119950217
FAN 1998:123975			WO 1996-US2260	W 19960216
PATENT NO.	KIND	DATE	US 1996-641990	A319960320
PI WO 9806262	A1	19980219	US 1996-701299	A319960821
W: JP, US			NO 1998-3737	19980814
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-390260	A 19950217
CA 2245927	AA	19970821	WO 1996-US2260	W 19960216
EP 920253	A1	19990609	US 1999-240354	19990129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			US 1995-390260	B219950217
JP 2001527519	T2	20011225	WO 1996-US2260	A219960216
FAN 1998:479029			US 1996-641990	A319960320
PATENT NO.	KIND	DATE	US 1996-701299	A319960821
PI US 5780483	A	19980714	WO 1997-US14825	W 19970815
US 5886044	A	19990323	JP 1998-510117	19970815
US 6211373	B1	20010403	US 1996-23991P	P 19960815
FAN 2001:521916			WO 1997-US14825W	19970815
PATENT NO.	KIND	DATE	US 1996-23991P	P 19960815
PI US 6262113	B1	20010717	JP 1998-510117	19970815
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WO 9729743	A1	19970821	US 1996-641990	19960320
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,			WO 1996-US13632	19960821

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG

WO 1996-US2260 A 19960216

WO 1996-US2260 A219960216

US 2002128321 A1 20020912

US 2001-871076 20010531

WO 1996-US13632W 19960821

US 1998-125279 A319980814

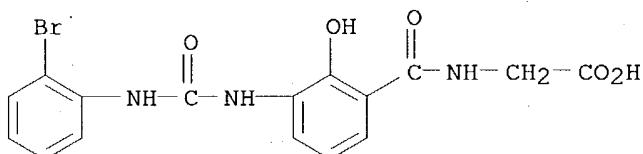
OS MARPAT 130:267221

IT **182499-00-9P**

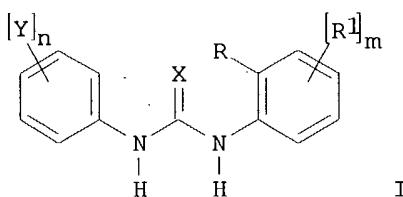
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-(9CI) (CA INDEX NAME)



GI



AB The title compds. [I; X = O, S; R = OH; R1 = H, halo, NO2, etc.; Y = H, halo, CN, etc.; n = 1-3; m = 1-3], useful in the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8), such as psoriasis, atopic dermatitis, asthma, chronic obstructive pulmonary disease, ARDS, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, septic shock, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, restenosis, angiogenesis, glomerulonephritis, thrombosis, Alzheimer's disease, graft vs. host reaction, allograft rejection, etc., were prepared E.g., reaction of Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [R = OH; R1 = 4-(MeOCO); Y = H; m = 1]. All exemplified compds. I showed IC50 from 45 to <1 μ /mL for IL-8 receptor inhibition. Compds. I were also found to be inhibitors of Gro- α binding at about the same level.

RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:479029 CAPLUS

DN 129:122458
 TI Preparation of N,N'-diphenylurea derivatives as interleukin-8 receptor antagonists
 IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
 PA Smithkline Beecham Corporation, USA
 SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 641,990.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5780483	A	19980714	US 1996-701299	19960821
				US 1995-390260	B219950217
	US 5886044	A	19990323	US 1996-641990	A219960320
				US 1996-641990	19960320
	US 6211373	B1	20010403	US 1995-390260	B219950217
				US 1998-111663	19980708
				US 1995-390260	B119950217
				WO 1996-US2260	W 19960216
				US 1996-641990	A319960320
				US 1996-701299	A319960821

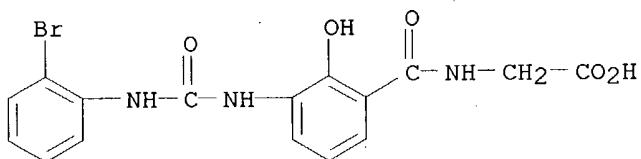
PATENT FAMILY INFORMATION:

FAN 1996:643902

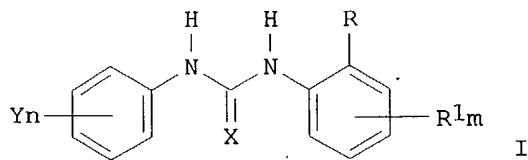
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9625157	A1	19960822	WO 1996-US2260	19960216
	W: JP, US			US 1995-390260	A219950217
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			EP 1996-906547	19960216
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	R: BE, CH, DE, DK, FR, GB, IT, LI, NL			US 1995-390260	A 19950217
				WO 1996-US2260	W 19960216
JP	11503110	T2	19990323	JP 1996-525199	19960216
				US 1995-390260	A 19950217
				WO 1996-US2260	W 19960216
CA	2432662	AA	19970821	CA 1996-2432662	19960821
				WO 1996-US2260	A 19960216
				CA 1996-2245927	A319960821
WO	9729743	A1	19970821	WO 1996-US13632	19960821
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			WO 1996-US2260	A 19960216
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			WO 1996-US2260	A219960216
AU	9669007	A1	19970902	AU 1996-69007	19960821
AU	725456	B2	20001012	WO 1996-US2260	A 19960216
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JP 2000504722	T2	20000418	CN 1996-180245 19960821
			WO 1996-US2260 A 19960216
NZ 316710	A	20000526	JP 1997-529318 19960821
BR 9612779	A	20001024	WO 1996-US2260 W 19960216
US 6005008	A	19991221	WO 1996-US13632W 19960821
US 6211373	B1	20010403	NZ 1996-316710 19960821
			WO 1996-US2260 A 19960216
NO 9803737	A	19981014	WO 1996-US13632W 19960821
US 6180675	B1	20010130	BR 1996-12779 19960821
			WO 1996-US2260 A 19960216
			WO 1996-US13632W 19960821
FAN 1998:123975			US 1997-894291 19970815
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PI WO 9806262	A1	19980219	US 1995-390260 B119950217
W: JP, US			WO 1996-US2260 W 19960216
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1999-240354 19990129
CA 2245927	AA	19970821	US 1995-390260 B219950217
EP 920253	A1	19990609	WO 1996-US2260 A219960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			US 1996-641990 A319960320
IE, FI			-----
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JP 2001527519	T2	20011225	WO 1997-US14825 19970815
			US 1996-23991P P 19960815
			WO 1997-US14825W 19970815
			JP 1998-510117 19970815
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			WO 1997-US14825W 19970815
FAN 1999:205323			-----
PATENT NO.	KIND	DATE	-----
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PI US 5886044	A	19990323	US 1996-641990 19960320
US 5780483	A	19980714	US 1995-390260 B219950217
US 6211373	B1	20010403	US 1996-701299 19960821
US 6262113	B1	20010717	US 1995-390260 B219950217
			US 1996-641990 A219960320
			US 1998-111663 19980708
			US 1995-390260 B119950217
			WO 1996-US2260 W 19960216
			US 1996-641990 A319960320
			US 1996-701299 A319960821
			US 1998-125279 19980814

US 6180675	B1	20010130	US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320																																														
<table border="0"> <thead> <tr> <th>FAN</th> <th>PATENT NO.</th> <th>KIND</th> <th>DATE</th> <th>APPLICATION NO.</th> <th>DATE</th> </tr> </thead> <tbody> <tr> <td>PI</td> <td>US 6262113</td> <td>B1</td> <td>20010717</td> <td>US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821</td> </tr> <tr> <td></td> <td>US 5886044</td> <td>A</td> <td>19990323</td> <td>US 1996-641990 19960320 US 1995-390260 B219950217</td> </tr> <tr> <td></td> <td>WO 9729743</td> <td>A1</td> <td>19970821</td> <td>WO 1996-US13632 19960821 W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG</td> </tr> <tr> <td></td> <td>US 2002128321</td> <td>A1</td> <td>20020912</td> <td>WO 1996-US2260 A 19960216 WO 1996-US2260 A219960216 US 2001-871076 20010531 WO 1996-US13632W 19960821 US 1998-125279 A319980814</td> </tr> <tr> <td>OS</td> <td>MARPAT 129:122458</td> <td></td> <td></td> <td></td> </tr> <tr> <td>IT</td> <td>182499-00-9P</td> <td></td> <td></td> <td>RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)</td> </tr> <tr> <td>RN</td> <td>182499-00-9 CAPLUS</td> <td></td> <td></td> <td></td> </tr> <tr> <td>CN</td> <td>Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-(9CI) (CA INDEX NAME)</td> <td></td> <td></td> <td></td> </tr> </tbody> </table>				FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	PI	US 6262113	B1	20010717	US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821		US 5886044	A	19990323	US 1996-641990 19960320 US 1995-390260 B219950217		WO 9729743	A1	19970821	WO 1996-US13632 19960821 W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		US 2002128321	A1	20020912	WO 1996-US2260 A 19960216 WO 1996-US2260 A219960216 US 2001-871076 20010531 WO 1996-US13632W 19960821 US 1998-125279 A319980814	OS	MARPAT 129:122458				IT	182499-00-9P			RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)	RN	182499-00-9 CAPLUS				CN	Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-(9CI) (CA INDEX NAME)			
FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE																																												
PI	US 6262113	B1	20010717	US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821																																													
	US 5886044	A	19990323	US 1996-641990 19960320 US 1995-390260 B219950217																																													
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	US 2002128321	A1	20020912	WO 1996-US2260 A 19960216 WO 1996-US2260 A219960216 US 2001-871076 20010531 WO 1996-US13632W 19960821 US 1998-125279 A319980814																																													
OS	MARPAT 129:122458																																																
IT	182499-00-9P			RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)																																													
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GI



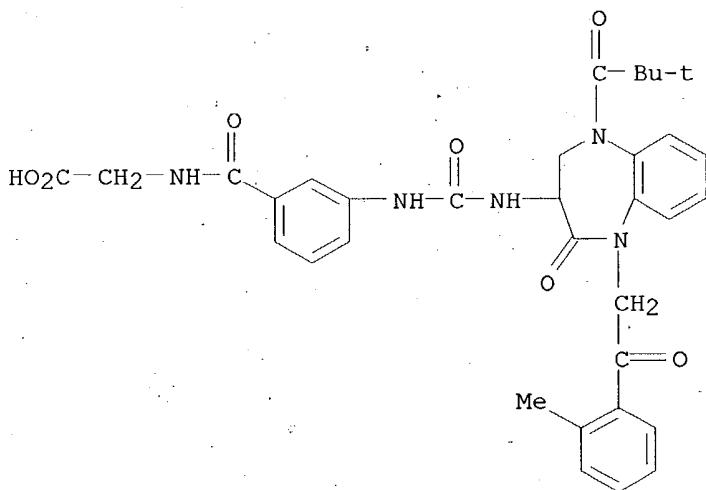
AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and a pKa of ≤10 (sic); R1, Y = H, halo, NO₂, cyano, (halo)alkyl, alkenyl, (halo)alkoxy, N3, HO, hydroxyalkyl, aryl, arylalkyl, aryloxy, arylalkoxy, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkoxy, arylalkenyl, heteroarylalkenyl, (un)substituted NH₂, CONH₂, or SO₃H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prepared. Thus, Me 4-amino-3-hydroxybenzoate was added to a solution of Ph isocyanate in PhMe and the resulting mixture was stirred at .apprx.80° for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

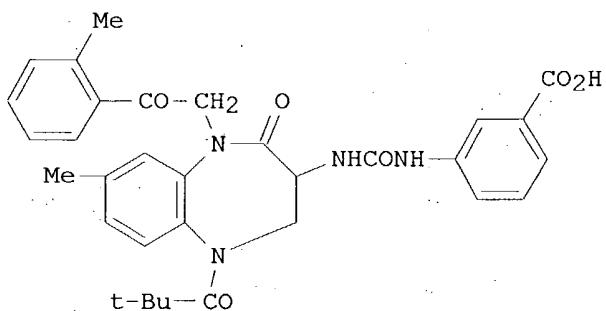
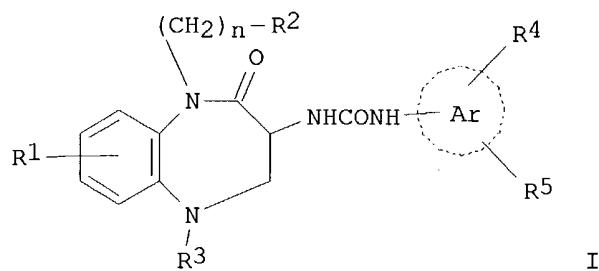
L6 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:402427 CAPLUS
DN 129:81759
TI Preparation and formulation of benzodiazepine derivatives as gastrin and cholecystokinin antagonists
IN Shinozaki, Katsuo; Yoneta, Tomoyuki; Murata, Masakazu; Miura, Naoyoshi; Maeda, Kiyoto
PA Zeria Pharmaceutical Co., Ltd., Japan; Shinozaki, Katsuo;; Yoneta, Tomoyuki;; Murata Masakazu;; Miura, Naoyoshi;; Maeda, Kiyoto;
SO PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

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PI	WO 9825911	A1	19980618	WO 1997-JP4534	19971210
	W: AU, CA, CN, JP, KR, US			JP 1996-344498 A	19961210
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			JP 1997-156132 A	19970530
	AU 9854100	A1	19980703	AU 1998-54100	19971210
	AU 721081	B2	20000622	JP 1996-344498 A	19961210
				JP 1997-156132 A	19970530
				WO 1997-JP4534 W	19971210
EP	945445	A1	19990929	EP 1997-947872	19971210
EP	945445	B1	20030903		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			JP 1996-344498 A	19961210
				JP 1997-156132 A	19970530
				WO 1997-JP4534 W	19971210
CN	1246850	A	20000308	CN 1997-181599	19971210
CN	1130351	B	20031210	JP 1996-344498 A	19961210
				JP 1997-156132 A	19970530
AT	248823	E	20030915	AT 1997-947872	19971210
				JP 1996-344498 A	19961210
				JP 1997-156132 A	19970530
				WO 1997-JP4534 W	19971210
US	6239131	B1	20010529	US 1999-319249	19990608

KR 2000057506 A 20000915 JP 1996-344498 A 19961210
 JP 1997-156132 A 19970530
 WO 1997-JP4534 W 19971210
 KR 1999-705193 19990610
 JP 1996-344498 A 19961210
 JP 1997-156132 A 19970530
 OS MARPAT 129:81759
 IT 209218-58-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzodiazepine derivs. as gastrin and cholecystokinin antagonists)
 RN 209218-58-6 CAPLUS
 CN Glycine, N-[3-[[[5-(2,2-dimethyl-1-oxopropyl)-2,3,4,5-tetrahydro-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]benzoyl] (9CI) (CA INDEX NAME)



GI



AB The title compds. I [R1 represents hydrogen, lower alkyl, lower alkoxy or halogeno; R2 and R3 may be the same or different and each represents hydrogen, alkenyl, alkyl, Ph, acyl, etc.; and R4 and R5 may be the same or different and each represents hydrogen, alkyl, carboxyl, etc.; Ar = aromatic heterocycle, etc.; n = 0 or 2] are prepared. The compds. have an excellent gastrin and/or CCK-B receptor antagonism and are useful as remedies for gastric ulcer and gastrointestinal movement disorder. In an in vitro test for CCK-B receptor antagonism, the title compound (+)-II showed the Ki value of 1.16 nM. (+)-II at 1 mg/kg intraduodenally gave 81% inhibition of stomach acid secretion induced by pentagastrin 15 µg/kg/h in rats.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1997:290093 CAPLUS
 DN 126:264011
 TI Preparation of meta-guanidine, urea, thiourea or azacyclic amino benzoic acid derivatives as integrin antagonists
 IN Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard John; Rico, Joseph Gerace; Rogers, Thomas Edward; Russell, Mark Andrew; et al.
 PA G.D. Searle and Co., USA; Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard, John
 SO PCT Int. Appl., 930 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU	9671039	A1	19970319	US 1995-3277P	P 19950830
AU	702487	B2	19990225	AU 1996-71039	19960827
EP	850221	A1	19980701	US 1995-3277P	P 19950830
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CN	1201454	A	19981209	WO 1996-US13500W	19960827
CN	1085980	B	20020605	CN 1996-197911	19960827
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				US 1995-3277P	P 19950830
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ES	2161373	T3	20011201	WO 1996-US13500W	19960827
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RU	2196769	C2	20030120	US 1995-3277P	P 19950830
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				PL 1996-325312	19960827
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				ZA 1996-7379	19960830
				US 1995-3277P	P 19950830
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				WO 1996-US13500W	19960827

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			US 1995-3277P	P 19950830
			WO 1996-US13500W	19960827

PATENT FAMILY INFORMATION:

FAN 2000:31349

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PI	US 6013651	A	20000111	US 1998-34758	19980304
	US 6028223	A	20000222	US 1995-3277P	P 19950830
	TW 458956	B	20011011	US 1996-713555	A219960827
	US 6100423	A	20000808	US 1996-713555	19960827
				US 1995-3277P	P 19950830
				TW 1996-85115118	19961206
				US 1996-713555	A 19960827
				US 1999-261822	19990303
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FAN 2000:547503

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	TW 458956	B	20011011	US 1996-713555	A219960827
	US 6013651	A	20000111	US 1998-34758	A219980304
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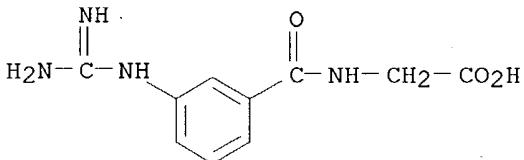
OS MARPAT 126:264011

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 188813-67-4P 188813-70-9P 188813-72-1P
 188813-74-3P 188813-98-1P 188814-01-9P
 188814-42-8P 188814-74-6P 188814-82-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of meta-guanidino, -ureido, -thioureido, or -azacyclic-amino benzoic acid derivs. as integrin antagonists)

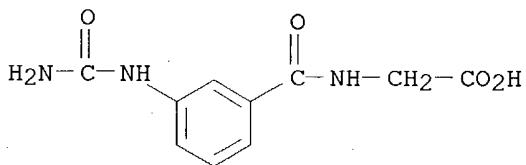
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CN Glycine, N-[3-[(aminoiminomethyl)amino]benzoyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

● HCl

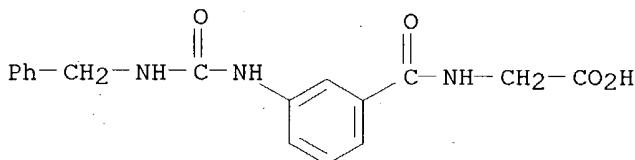
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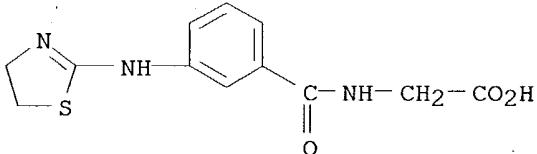
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CN Glycine, N-[3-[[[(phenylmethyl)amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



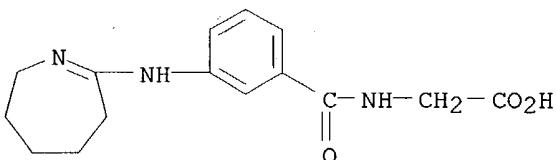
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CN Glycine, N-[3-[(4,5-dihydro-2-thiazolyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



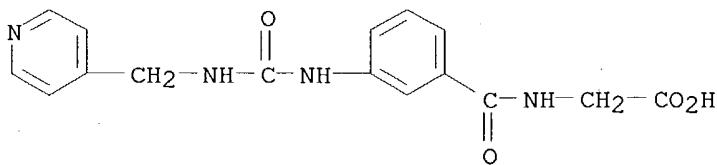
RN 188813-15-2 CAPLUS

CN Glycine, N-[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 188813-65-2 CAPLUS

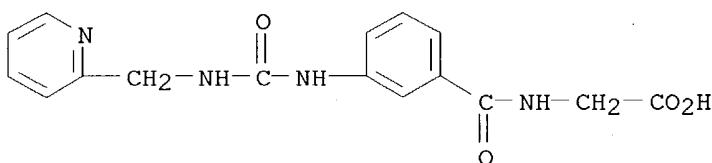
CN Glycine, N-[3-[[[(4-pyridinylmethyl)amino]carbonyl]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 188813-67-4 CAPLUS

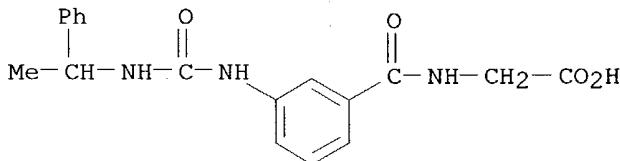
CN Glycine, N-[3-[[[(2-pyridinylmethyl)amino]carbonyl]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

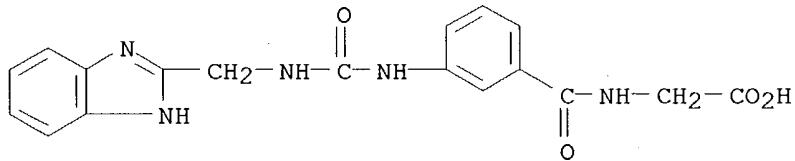
RN 188813-70-9 CAPLUS

CN Glycine, N-[3-[[[(1-phenylethyl)amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



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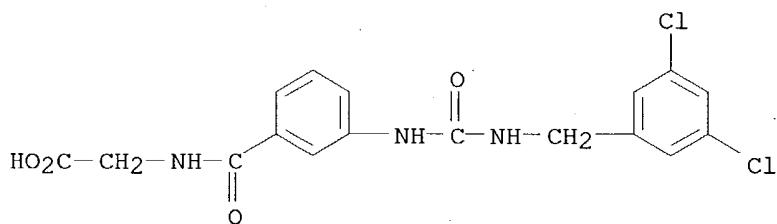
CN Glycine, N-[3-[[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



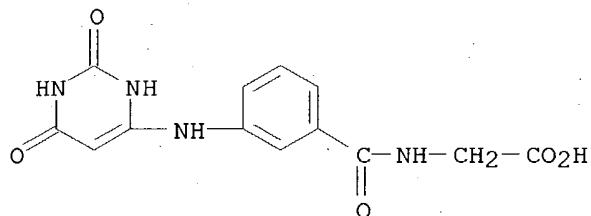
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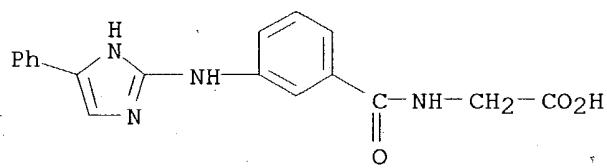
(9CI) (CA INDEX NAME)



RN 188813-98-1 CAPLUS

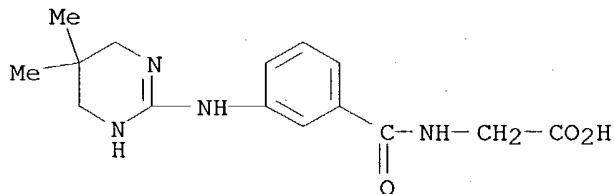
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(9CI) (CA INDEX NAME)

RN 188814-01-9 CAPLUS

CN Glycine, N-[3-[(4-phenyl-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX
NAME)

RN 188814-42-8 CAPLUS

CN Glycine, N-[3-[(1,4,5,6-tetrahydro-5,5-dimethyl-2-pyrimidinyl)amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

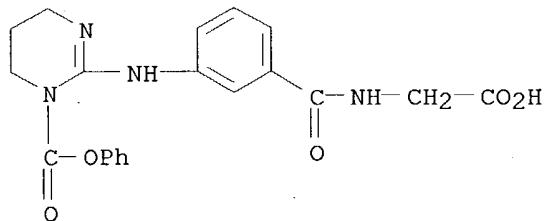
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CN 1(4H)-Pyrimidinecarboxylic acid, 2-[[3-[(carboxymethyl)amino]carbonyl]phenyl]amino]-5,6-dihydro-, 1-phenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 188814-73-5

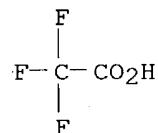
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CM 2

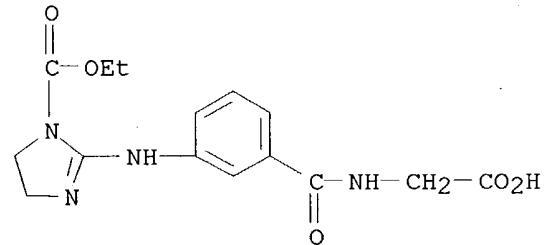
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CMF C2 H F3 O2



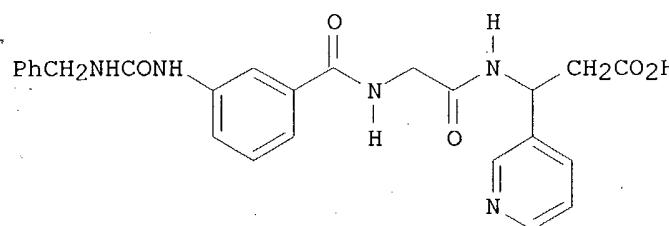
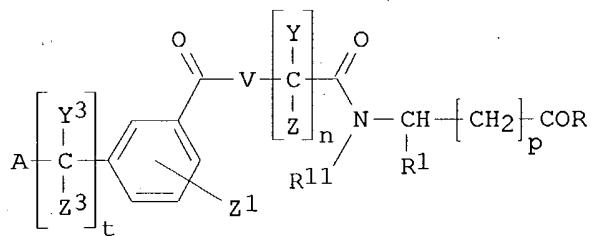
RN 188814-82-6 CAPLUS

CN 1H-Imidazole-1-carboxylic acid, 2-[[3-[(carboxymethyl)amino]carbonyl]phenyl]amino]-4,5-dihydro-, 1-ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

GI



AB The title compds. I [A = (un)substituted ureido, guanidino, etc. (generic structures given); Z1 = H, alkyl, OH, alkoxy, halo, (di)(alkyl)amino, aryl, etc.; V = NR6; R6 = H, alkyl, etc.; or YR6 forms a 4- to 12-membered mono-N-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl; or YZ or Y3Z3 form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = XR3; X = O, S, NH, etc.; R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, etc.; R11 = H, alkyl, aralkyl, etc.] are prepared. For example, m-nitrohippuric acid was subjected to a sequence of (1) amidation with Et 3-amino-3-(3-pyridyl)propanoate-2HCl; (2) hydrogenation of the nitro group; (3) reaction of the formed amine with benzyl isocyanate; and (4) alkaline saponification of the ester, to give title compound II, isolated as the CF3CO2H or HCl salt. In an in vitro assay for antagonism of human vitronectin receptor ($\alpha\text{V}\beta\text{3}$), the title compound II.HCl bound with an IC50 of 0.86 nM.

L6 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:643902 CAPLUS
DN 125:275430
TI Preparation of N,N'-diphenylurea derivatives as interleukin-8 receptor antagonists
IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Rutledge, Melvin Clarence, Jr.; Hertzberg, Robert Philip
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9625157	A1	19960822	WO 1996-US2260	19960216
	W: JP, US				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
US 1995-390260 A219950217

EP 809492 A1 19971203 EP 1996-906547 19960216

R: BE, CH, DE, DK, FR, GB, IT, LI, NL
US 1995-390260 A 19950217
WO 1996-US2260 W 19960216

JP 11503110 T2 19990323 JP 1996-525199 19960216
US 1995-390260 A 19950217
WO 1996-US2260 W 19960216

CA 2432662 AA 19970821 CA 1996-2432662 19960821
WO 1996-US2260 A 19960216
CA 1996-2245927A3 19960821

WO 9729743 A1 19970821 WO 1996-US13632 19960821

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG,
KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG,
SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG
WO 1996-US2260 A 19960216
WO 1996-US2260 A219960216

AU 9669007 A1 19970902 AU 1996-69007 19960821

AU 725456 B2 20001012 WO 1996-US2260 A 19960216
WO 1996-US13632W 19960821

EP 896531 A1 19990217 EP 1996-929723 19960821

R: AT, ES, GR, LU, SE, MC, PT, IE, SI, LT, LV, FI
WO 1996-US2260 W 19960216
WO 1996-US13632W 19960821

CN 1215990 A 19990505 CN 1996-180245 19960821

JP 2000504722 T2 20000418 WO 1996-US2260 A 19960216
JP 1997-529318 19960821
WO 1996-US2260 W 19960216
WO 1996-US13632W 19960821

NZ 316710 A 20000526 NZ 1996-316710 19960821
WO 1996-US2260 A 19960216
WO 1996-US13632W 19960821

BR 9612779 A 20001024 BR 1996-12779 19960821
WO 1996-US2260 A 19960216
WO 1996-US13632W 19960821

US 6005008 A 19991221 US 1997-894291 19970815

US 6211373 B1 20010403 WO 1996-US2260 W 19960216
US 1998-111663 19980708
US 1995-390260 B119950217
WO 1996-US2260 W 19960216
US 1996-641990 A319960320

NO 9803737 A 19981014 US 1996-701299 A319960821
US 1998-3737 19980814
US 1995-390260 A 19950217
WO 1996-US2260 W 19960216

US 6180675 B1 20010130 US 1999-240354 19990129
US 1995-390260 B219950217
WO 1996-US2260 A219960216
US 1996-641990 A319960320

PATENT FAMILY INFORMATION:

FAN 1998:123975

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI	WO 9806262	A1	19980219	WO 1997-US14825	19970815
	W: JP, US			FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	
	RW: AT, BE, CH, DE, DK, ES, FI			US 1996-23991P P	19960815
CA	2245927	AA	19970821	CA 1996-2245927	19960821
EP	920253	A1	19990609	US 1996-23991P P	19960815
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			EP 1997-939515	19970815
				US 1996-23991P P	19960815
JP	2001527519	T2	20011225	WO 1997-US14825W	19970815
				JP 1998-510117	19970815
				US 1996-23991P P	19960815
				WO 1997-US14825W	19970815
FAN	1998:479029				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5780483	A	19980714	US 1996-701299	19960821
	US 5886044	A	19990323	US 1995-390260	B219950217
	US 6211373	B1	20010403	US 1996-641990	A219960320
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				US 1995-390260	B219950217
				US 1998-111663	19980708
				US 1995-390260	B119950217
				WO 1996-US2260 W	19960216
				US 1996-641990	A319960320
				US 1996-701299	A319960821
FAN	1999:205323				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5886044	A	19990323	US 1996-641990	19960320
	US 5780483	A	19980714	US 1995-390260	B219950217
	US 6211373	B1	20010403	US 1996-701299	19960821
				US 1995-390260	B219950217
				US 1996-641990	A219960320
				US 1998-111663	19980708
				US 1995-390260	B119950217
				WO 1996-US2260 W	19960216
				US 1996-641990	A319960320
				US 1996-701299	A319960821
				US 1998-125279	19980814
				US 1996-641990	A219960320
				WO 1996-US13632W	19960821
				US 1999-240354	19990129
				US 1995-390260	B219950217
				WO 1996-US2260	A219960216
				US 1996-641990	A319960320
FAN	2001:521916				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262113	B1	20010717	US 1998-125279	19980814
	US 5886044	A	19990323	US 1996-641990	A219960320
	WO 9729743	A1	19970821	WO 1996-US13632W	19960821
				US 1996-641990	19960320
				US 1995-390260	B219950217
				WO 1996-US2260	A219960216
				US 1996-641990	A319960320

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

WO 1996-US2260 A 19960216

WO 1996-US2260 A219960216

US 2002128321 A1 20020912

US 2001-871076 20010531

WO 1996-US13632W 19960821

US 1998-125279 A319980814

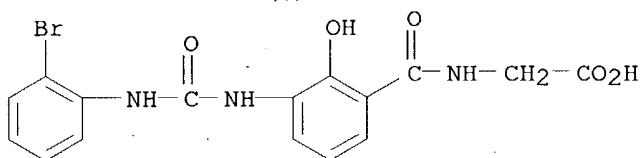
OS MARPAT 125:275430

IT 182499-00-9P

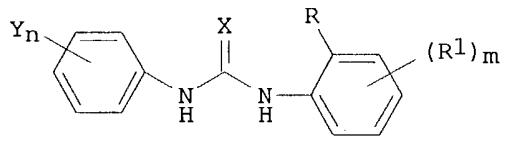
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-(9CI) (CA INDEX NAME)



GI

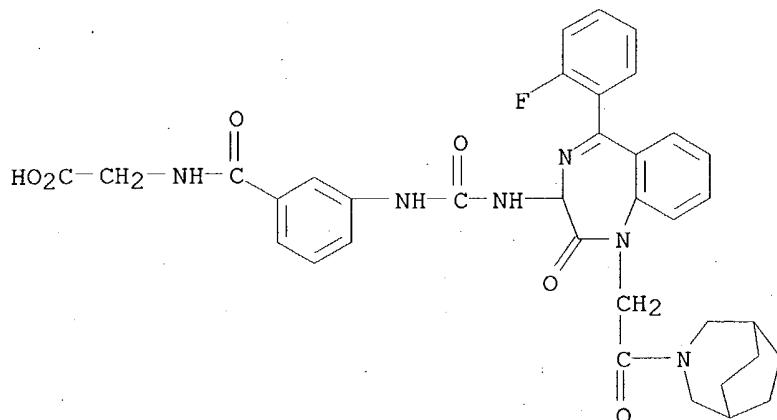


AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and a pKa of ≤ 10 ; R1, Y = H, halo, NO₂, cyano, C1-10 (halo)alkyl, C2-10 alkenyl, C1-10 (halo)alkoxy, N3, HO, C1-4 hydroxyalkyl, aryl, aryl-C1-4 alkyl, aryloxy, aryl-C1-4 alkoxy, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclyl-C1-4 alkyl, heterocyclyl-C1-4 alkoxy, aryl-C2-10 alkenyl, heteroaryl-C2-10 alkenyl, (un)substituted NH₂, carbamoyl, or SO₃H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prepared. The chemokine-mediated disease is selected from psoriasis or atopic dermatitis, asthma, chronic obstructive pulmonary disease, adult respiratory distress syndrome, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, septic shock, endotoxic shock, gram neg. sepsis, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, glomerulo-nephritis, thrombosis, Alzheimer's disease, graft vs. host reaction, and allograft rejections. Thus, 1.19

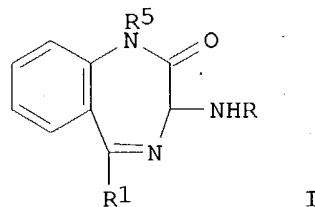
mmol Me 4-amino-3-hydroxybenzoate was added to a solution of 1.19 mmol Ph isocyanate in toluene and the resulting mixture was stirred at .apprx.80° for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

L6 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:365469 CAPLUS
 DN 125:33692
 TI Preparation of oxobenzodiazepinylureas as CCK and gastrin antagonists
 IN Sato, Yoshinari; Sakane, Kazuo; Tabuchi, Seiichiro; Mitsui, Hitoshi;
 Katsumi, Ikuo; Satoh, Yuichi
 PA Fujisawa Pharmaceutical Co., Ltd., Japan; Nippon Shokubai Co., Ltd.
 SO PCT Int. Appl., 302 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9604254	A2	19960215	WO 1995-JP1497	19950727
	WO 9604254	A3	19960620		
	W: CA, CN, JP, KR, US			GB 1994-15311	19940729
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1995-1726	19950130
	CA 2196062	AA	19960215	CA 1995-2196062	19950727
				GB 1994-15311	19940729
				GB 1995-1726	19950130
	EP 804425	A2	19971105	EP 1995-926512	19950727
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE			GB 1994-15311	19940729
				GB 1995-1726	19950130
				WO 1995-JP1497	19950727
	JP 10504545	T2	19980506	JP 1995-506388	19950727
				GB 1994-15311	19940729
				GB 1995-1726	19950130
				WO 1995-JP1497	19950727
	US 5763437	A	19980609	US 1997-776196	19970129
				GB 1994-15311	19940729
				GB 1995-1726	19950130
				WO 1995-JP1497	19950727
OS	MARPAT	125:33692			
IT	177783-65-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxobenzodiazepinylureas as CCK and gastrin antagonists)				
RN	177783-65-2	CAPLUS			
CN	Glycine, N-[3-[[[1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)				



GI



AB Title compds. [I; R = C(:Y)ZR2; R1 = (un)substituted aryl, (un)substituted cycloalkyl; R2 = (un)substituted aryl, (un)substituted cycloalkyl, etc.; R5 = Z1R3; R3 = tetrahydrofuryl, thienyl, quinolyl, XR4, etc.; R4 = thiomorpholinyl, pyridyl, cyclohydrocarbyl, etc.; X = CO, CO2, CONH, etc.; Y = O or S; Z = bond, (alkyl)imino; Z1 = alkylene] were prepared. Thus, I (R1 = C6H4F-2) (II; R = CO2CH2Ph, R5 = CH2CO2H) (preparation given) was amidated by 3-azabicyclo[3.2.2]nonane and the deprotected product N-acylated by 3-MeC6H4NCO to give II [R = CONHC6H4Me-3, R5 = CH2COR4, R4 = 3-azabicyclo[3.2.2]nonan-3-yl] which gave 98.0% inhibition of CCK-8 binding at guinea pig cerebral cortex membrane preparation at 10-8M in vitro.

L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:667081 CAPLUS

DN 123:55917

TI Antithrombogenic piperazine derivatives.

IN Gante, Joachim; Raddatz, Peter; Juraszek, Horst; Bernotat-Danielowski, Sabine; Melzer, Guido

PA Merck Patent G.m.b.H., Germany

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PATENT NO.

KIND DATE

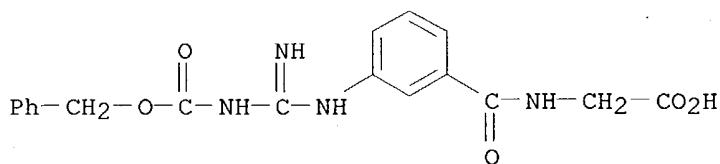
APPLICATION NO. DATE

PI	EP 608759	A2	19940803	EP 1994-100709	19940119
	EP 608759	A3	19941005		
	EP 608759	B1	20010822		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				DE 1993-4302485A	19930129
DE	4302485	A1	19940804	DE 1993-4302485	19930129
JP	06271549	A2	19940927	JP 1994-3451	19940118
				DE 1993-4302485A	19930129
AT	204570	E	20010915	AT 1994-100709	19940119
				DE 1993-4302485A	19930129
ES	2162825	T3	20020116	ES 1994-100709	19940119
				DE 1993-4302485A	19930129
PT	608759	T	20020228	PT 1994-94100709	19940119
				DE 1993-4302485A	19930129
SK	281842	B6	20010806	SK 1994-68	19940120
				DE 1993-4302485A	19930129
AU	9454702	A1	19940804	AU 1994-54702	19940125
AU	670649	B2	19960725		
				DE 1993-4302485A	19930129
CN	1099759	A	19950308	CN 1994-101127	19940125
CN	1056141	B	20000906		
				DE 1993-4302485A	19930129
CZ	288122	B6	20010411	CZ 1994-163	19940125
				DE 1993-4302485A	19930129
CA	2114361	AA	19940730	CA 1994-2114361	19940127
				DE 1993-4302485A	19930129
NO	9400308	A	19940801	NO 1994-308	19940128
				DE 1993-4302485A	19930129
ZA	9400615	A	19940913	ZA 1994-615	19940128
				DE 1993-4302485A	19930129
HU	70042	A2	19950928	HU 1994-249	19940128
				DE 1993-4302485A	19930129
PL	172716	B1	19971128	PL 1994-302069	19940128
				DE 1993-4302485A	19930129
RU	2154639	C2	20000820	RU 1994-2323	19940128
				DE 1993-4302485A	19930129
US	5908843	A	19990601	US 1994-189385	19940131
				DE 1993-4302485A	19930129
GR	3036838	T3	20020131	GR 2001-401700	20011009
				DE 1993-4302485A	19930129

OS MARPAT 123:55917

IT **164785-10-8**RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antithrombotic piperazines)

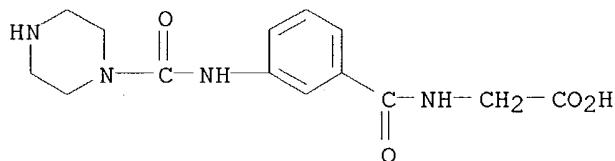
RN 164785-10-8 CAPLUS

CN Glycine, N-[3-[[imino[[phenylmethoxy]carbonyl]amino]methyl]amino]benzoyl]-
(9CI) (CA INDEX NAME)

IT **164784-19-4P 164784-20-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antithrombogenic piperazines)

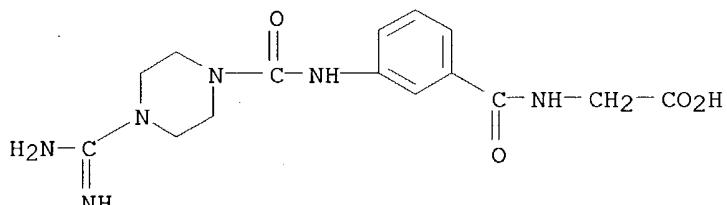
RN 164784-19-4 CAPLUS

CN Glycine, N-[3-[(1-piperazinylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX
NAME)

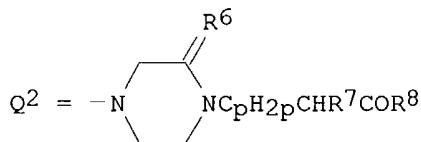
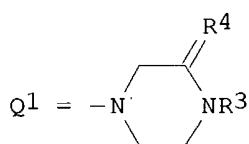


RN 164784-20-7 CAPLUS

CN Glycine, N-[3-[[4-(aminoiminomethyl)-1-piperazinyl]carbonyl]amino]benzoyl
]- (9CI) (CA INDEX NAME)



GI



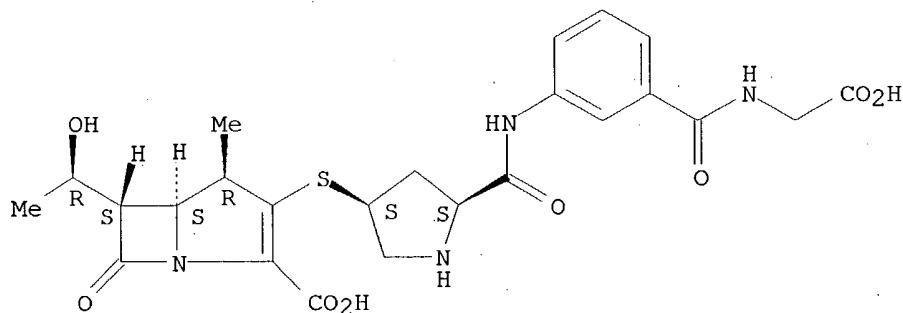
AB The title compds. $Y(C_6H_2mCH_1)nCO(NHCHR_2CO)rZ$ [I; R₁, R₂ = (un)substituted PhCH₂, etc.; Y = Q₁, 4-R₅C₆H₄; Z = Q₁, Q₂, etc.; R₃ = H, H₂NC(:NH)NH; R₄, R₆ = H₂, :O; R₇ = R₁; R₈ = OH, NHOH, etc.; m = 0-4; n, r = 0, 1; p = 0-2], useful as antithrombotics (no data), antineoplastic agents (no data), antiatherosclerotics (no data), etc., are prepared and I-containing formulations presented. Thus, 3-[4-(4-guanidinobenzoyl)-2-oxo-1-piperazinyl]propionic acid, m.p. 110° (decomposition), was prepared

L6 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:680467 CAPLUS
 DN 121:280467
 TI Preparation of antibiotic carbapenem compounds
 IN Betts, Michael John; Davies, Gareth Morse; Jung, Frederic Henri
 PA Zeneca Ltd., UK; Zeneca Pharma S.A.
 SO Eur. Pat. Appl., 27 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 590885	A1	19940406	EP 1993-307551	19930923
	EP 590885	B1	20000315	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE EP 1992-402648 A 19920928	
	CA 2106141	AA	19940329	CA 1993-2106141 19930914	
	US 5527791	A	19960618	EP 1992-402648 A 19920928	
	AT 190615	E	20000415	US 1993-123998 19930921	
	ES 2144446	T3	20000616	EP 1992-402648 A 19920928	
	JP 06211860	A2	19940802	AT 1993-307551 19930923	
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				EP 1992-402648 A 19920928	
			JP 1993-241519 19930928		
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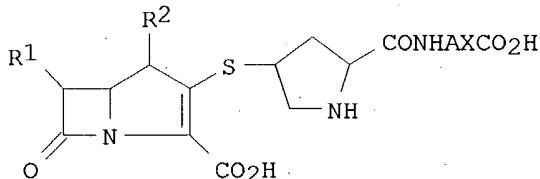
OS MARPAT 121:280467
 IT **158742-92-8P**
 RL: PREP (Preparation)
 (prepare of, as antibiotic)
 RN 158742-92-8 CAPLUS
 CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[[[3-
 [(carboxymethyl)amino]carbonyl]phenyl]amino]carbonyl]-3-
 pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, disodium salt,
 [4R-[3(3S*,5S*),4α,5β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

GI



I

AB Title compds. I (A = (substituted) Ph or thienyl; R1 = MeCH(OH), MeCHF, HOCH2; R2 = H, C1-4 alkyl; R3, R4 = H, halo, NC, C1-4 alkyl, O2N, HO, HO2C, C1-4 alkoxy, F3C, etc.; X = C1-6 alkanediyl interrupted by O, S(O)x wherein x = 0-2, R5NCO wherein R5 = H, C1-4 alkyl) or a salt or in vivo hydrolysable ester, are prepared To allyl (1R,5S,6S,8R,2'S,4'S)-2-(1-allyloxycarbonyl-2-(3(E-allyloxycarbonyl-1-ethenyl)phenylcarbamoyl)pyrrolidin-4-ylthio)-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylate (preparation given) and Maldrum's acid in DMF and THF was added (Ph3P)4Pd followed by Na 2-ethylhexanoate to give the title compound (1R,5S,6S,8R,2'S,4'S)-2-(2-(3(E-2-carboxy-1-ethenyl)phenylcarbamoyl)pyrrolidin-4-ylthio)-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylic acid, di-Na salt (II). In vitro against S. aureus the min. inhibitory concentration of II was 0.13 µg/mL ws. 2.0 µg/mL of ceftriaxone. Pharmaceutical formulations comprising I are given.

L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:245179 CAPLUS

DN 120:245179

TI Preparation of benzodiazepine derivatives as cholecystokinin B and gastrin receptor antagonists

IN Satoh, Masato; Okamoto, Yoshinori; Koshio, Hiroyuki; Nishida, Akito; Miyata, Keiji; Ohta, Mitsuaki; Ryder, Hamish; Kendrick, David A.; Semple, Graeme; Szelke, Michael

PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Ferring B.V.

SO PCT Int. Appl., 91 pp.

CODEN: PIXXD2

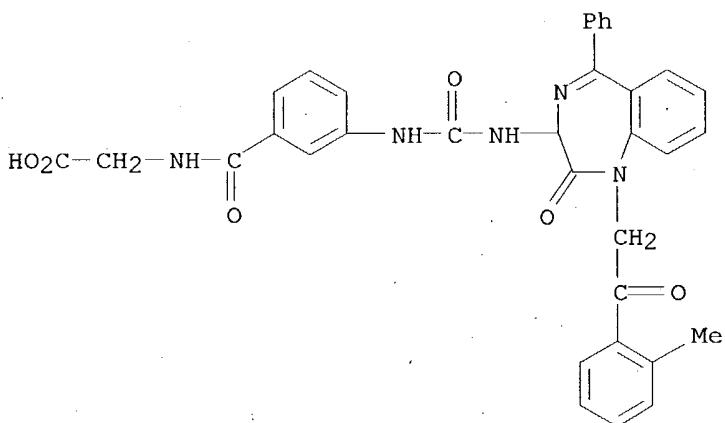
DT Patent

LA Japanese

FAN.CNT 1

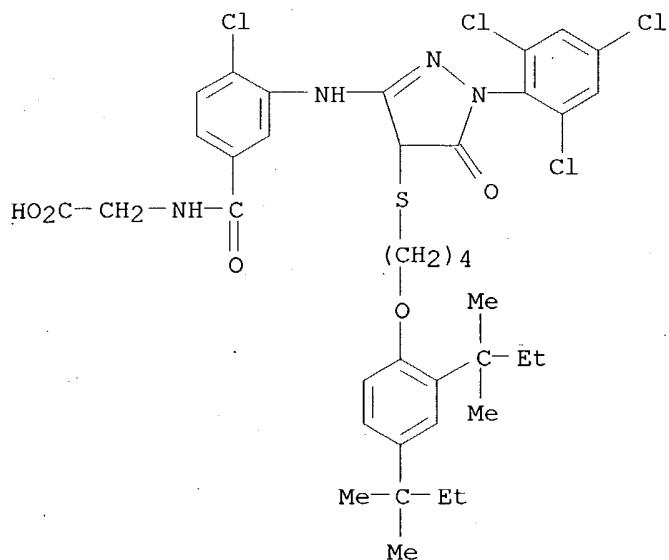
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9400438	A1	19940106	WO 1993-JP844	19930622
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			JP 1992-189826	19920624
	AU 9343570	A1	19940124	AU 1993-43570	19930622
	AU 670597	B2	19960725	JP 1992-189826	19920624
				WO 1993-JP844	19930622
	EP 647632	A1	19950412	EP 1993-913562	19930622

R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
		JP 1992-189826	19920624	
		WO 1993-JP844	19930622	
HU 68208	A2	19950628	HU 1994-3785	19930622
			JP 1992-189826	19920624
JP 2726158	B2	19980311	JP 1993-502202	19930622
			JP 1992-189826	19920624
FI 9405989	A	19941221	FI 1994-5989	19941221
			JP 1992-189826	19920624
			WO 1993-JP844	19930622
NO 9405033	A	19950224	NO 1994-5033	19941223
			JP 1992-189826	19920624
			WO 1993-JP844	19930622
OS	MARPAT 120:245179			
IT	154063-73-7P			
	RL: SPN (Synthetic preparation); PREP (Preparation)			
	(preparation of, as cholecystokinin B and gastrin receptor antagonist)			
RN	154063-73-7 CAPLUS			
CN	Glycine, N-[3-[[[2,3-dihydro-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)			

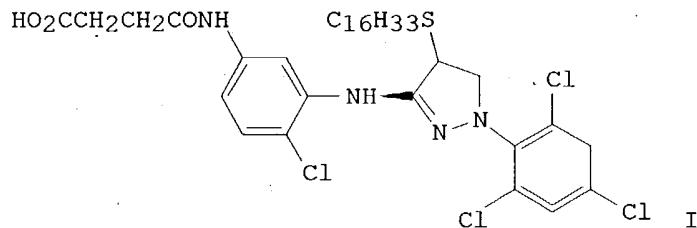


GI

chlorobenzoyl]- (9CI) (CA INDEX NAME)



GI



AB A photothermog. process is claimed in which a photosensitive sheet containing Ag halide, a hydrophilic binder, a reducing agent (for Ag halide), and a diffusion-resistant 2-equivalent coupler which forms a diffusible hydrophilic dye is imagewise exposed and developed to form diffusible dye images, and the dye images are transferred onto a dye-mordanting layer at an elevated temperature in the presence of a hydrophilic low m.p. Thus, a polyester film support was coated with a composition containing gelatin and Me acrylate-trimethyl(vinylbenzyl)ammonium chloride copolymer and coated with a high temperature solvent composition containing urea, poly(vinyl alc.), p-C9H19C6H4O(CH2CH2O)8H, and Na dodecylbenzenesulfonate to give a receptor sheet. Sep., another film support was coated with a composition containing Ag(Br,Cl) emulsion, I, guanidine trichloroacetate, 2,6-dichloro-4-aminophenol and p-C9H19C6H4O(CH2CH2O)8H to give a photothermog. film. The film was imagewise exposed, heated at 130°, then contacted with the receptor sheet and heated at 120° to form clear magenta dye images on the receptor.

=> d his

(FILE 'HOME' ENTERED AT 10:33:40 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:33:51 ON 28 APR 2004
 L1 STRUCTURE UPLOADED
 L2 41 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 10:34:24 ON 28 APR 2004
 L3 71 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:37:55 ON 28 APR 2004
 S L1

FILE 'REGISTRY' ENTERED AT 10:38:02 ON 28 APR 2004
 L4 41 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:38:03 ON 28 APR 2004
 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:38:08 ON 28 APR 2004
 L6 15 S L2
 L7 71 S L3

=> s 12 and 13

EXPRESSION CONTAINS ANSWER SETS CREATED IN MORE THAN ONE
 ADDITIONAL FILE

The query entered contains answer sets from two different
 files, other than the current file, as search terms.
 File crossover can only be done from one file to another.

=> s 16 and 17

L8 2 L6 AND L7

=> d 18 fbib hitstr abs total

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:335391 CAPLUS

DN **132:347569**

TI Preparation gastrin and cholecystokinin receptor ligands
 IN Kalinkjian, Sarkis Barret; Buck, Ildiko Maria; Linney, Ian Duncan; Wright,
 Paul Trevor; McDonald, Iain Mair; Steel, Katherine Isobel Mary; Hull,
 Robert Antony David; Roberts, Sonia Patricia; Gaffen, John David; Vinter,
 Jeremy Gilbert; Walker, Martin Keith; Black, James Whyte; Watt, Gillian
 Fairfull; Harper, Elaine Anne; Shankley, Nigel Paul; Tozer, Matthew John;
 Dunstone, David John; Pether, Michael John; Lilley, Elliot James; Sykes,
 David Andrew; Low, Caroline Minli Rachel; Griffin, Eric Peter; Wright,
 Laurence

PA James Black Foundation Limited, UK

SO PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2000027823	A1	20000518	WO 1999-GB3733	19991109

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 15 APR 26 LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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FILE 'HOME' ENTERED AT 10:45:15 ON 28 APR 2004

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COST IN U.S. DOLLARS

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 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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 conducting SmartSELECT searches.

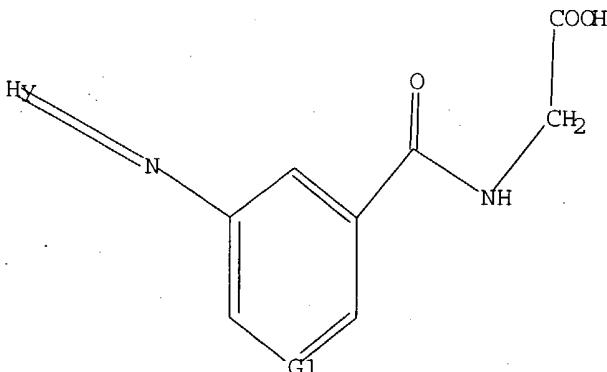
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
 Uploading c:\program files\stnexp\queries\10717238.4

L1 STRUCTURE UPLOADED

=> d 11
 L1 HAS NO ANSWERS
 L1 STR



G1 N,CH
 G2 O,S,NH
 G3 NH,NH2,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 10:46:51 FILE 'REGISTRY'
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100.0% PROCESSED 4137 ITERATIONS 16 ANSWERS
 SEARCH TIME: 00.00.01

L2 16 SEA SSS FUL L1

=> file marpat
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 FULL ESTIMATED COST ENTRY SESSION
 155.84 156.05

FILE 'MARPAT' ENTERED AT 10:46:58 ON 28 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004
 DE 10335606 11 MAR 2004
 EP 1403278 31 MAR 2004
 JP 2004099560 02 APR 2004
 WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new,
 higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 10:47:03 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 34119 TO ITERATE

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28.0% PROCESSED	9558 ITERATIONS	(1 INCOMPLETE)	4 ANSWERS
47.4% PROCESSED	16184 ITERATIONS	(2 INCOMPLETE)	7 ANSWERS
73.0% PROCESSED	24912 ITERATIONS	(2 INCOMPLETE)	10 ANSWERS
81.1% PROCESSED	27671 ITERATIONS	(2 INCOMPLETE)	11 ANSWERS
84.3% PROCESSED	28770 ITERATIONS	(2 INCOMPLETE)	11 ANSWERS
89.8% PROCESSED	30649 ITERATIONS	(2 INCOMPLETE)	12 ANSWERS
94.4% PROCESSED	32208 ITERATIONS	(3 INCOMPLETE)	13 ANSWERS
97.7% PROCESSED	33326 ITERATIONS	(3 INCOMPLETE)	14 ANSWERS
99.7% PROCESSED	34026 ITERATIONS	(3 INCOMPLETE)	14 ANSWERS
100.0% PROCESSED	34119 ITERATIONS	(3 INCOMPLETE)	14 ANSWERS

SEARCH TIME: 00.02.55

L3 14 SEA SSS FUL L1

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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full
REG1stRY INITIATED
 Substance data SEARCH and crossover from CAS REGISTRY in progress...
 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:50:12 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 4137 TO ITERATE

100.0% PROCESSED 4137 ITERATIONS 16 ANSWERS
 SEARCH TIME: 00.00.01

L4 16 SEA SSS FUL L1

L5 0 L4

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FULL ESTIMATED COST		0.42	423.41

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'MARPAT' ENTERED AT 10:46:58 ON 28 APR 2004

L3 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:50:04 ON 28 APR 2004
S L1

L4 FILE 'REGISTRY' ENTERED AT 10:50:12 ON 28 APR 2004
16 S L1 SSS FULL

L5 FILE 'CAOLD' ENTERED AT 10:50:13 ON 28 APR 2004
0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:50:17 ON 28 APR 2004

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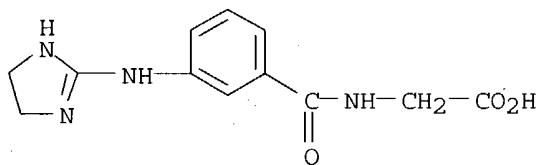
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L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:256040 CAPLUS
DN 136:279325
TI Preparation and use of amido-lactone integrin antagonists
IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas;
Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent

LA English

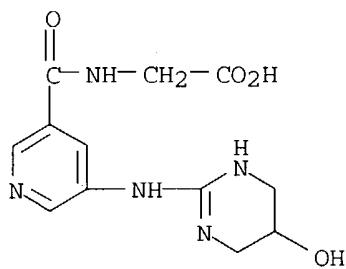
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2000-235617PP	20000927
				US 2000-241633PP	20001010
US	2002045645	A1	20020418	US 2001-963926	20010926
US	6720327	B2	20040413		
				US 2000-235617PP	20000927
				US 2000-241633PP	20001019
EP	1320363	A1	20030625	EP 2001-975450	20010927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2000-235617PP	20000927
				US 2000-241633PP	20001010
				WO 2001-US30194W	20010927
US	2004019206	A1	20040129	US 2003-381831	20030327
				WO 2001-US30194W	20010927
OS	MARPAT 136:279325				
IT	406703-74-0 406703-76-2				
	RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation and use of amido-lactone integrin antagonists)				
RN	406703-74-0 CAPLUS				
CN	Glycine, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)				

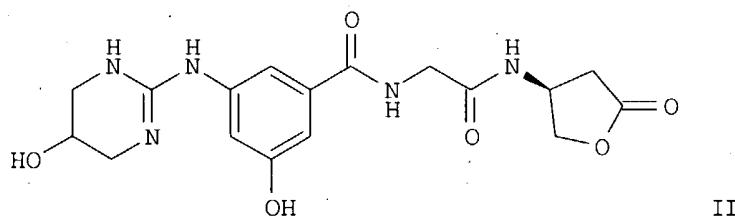
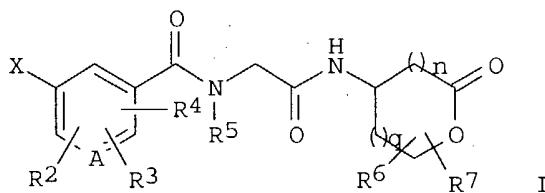


RN 406703-76-2 CAPLUS

CN Glycine, N-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared. For instance, (4S)-4-aminodihydro-2(3H)furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha\beta 3$ integrin and IC50 < 50 μ M for the $\alpha\beta 5$.

integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:672798 CAPLUS
DN 131:299691
TI Preparation of heterocyclic glycyl β -alanine derivatives as vitronectin antagonists
IN Chandrakumar, Nizal Samuel; Desai, Bipinchandra Nanubhai; Devadas, Balekudru; Huff, Renee; Khanna, Ish K.; Rao, Shashidhar N.; Rico, Joseph G.; Rogers, Thomas E.; Ruminski, Peter G.; Russell, Mark Andrew; Yu, Yi; Gasiecki, Alan Frank; Malecha, James W.; Miyashiro, Julie M.
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9952896	A1	19991021	WO 1999-US4297	19990409
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US	6689754	B1	20040210	US 1998-81394P P	19980410
CA	2326665	AA	19991021	US 1998-81394P P	19980410
AU	9934499	A1	19991101	CA 1999-2326665	19990409
AU	765294	B2	20030911	US 1998-81394P P	19980410
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
EP	1070060	A1	20010124	EP 1999-916119	19990409
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			EP 1999-916119	19990409
BR	9910119	A	20011009	US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
JP	2002511462	T2	20020416	BR 1999-10119	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
RU	2215746	C2	20031110	JP 2000-543454	19990409
				US 1998-81394P P	19980410
				WO 1999-US4297 W	19990409
NZ	507292	A	20031219	RU 2000-128033	19990409
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NO 2000005084

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NO 2000-5084 20001009

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WO 1999-US4297 W 19990409

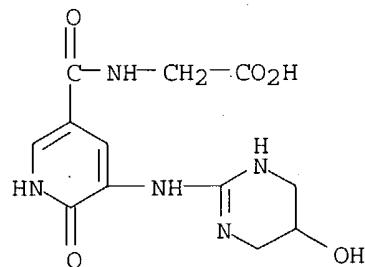
OS MARPAT 131:299691

IT **247101-76-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclic glycyl β -alanine derivs. as vitronectin antagonists)

RN 247101-76-4 CAPLUS

CN Glycine, N-[(1,6-dihydro-6-oxo-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



AB Tile compds. A(CY3Z3)t-Het-CO-V-(CYZ)n-CONR11CHR1(CH2)pCOR [Het = (un)substituted 5-8 membered monocyclic heterocyclic ring containing 1-4 heteroatoms selected from O, N, or S, optionally unsatd. and linked to (CY3Z3)t and CO at the 1- and 3-positions; A = NR5C(:Y1)NR7R8, NR5C(:NR7)Y2, or N:C(NR2R5)(NR7R8), where Y1 = NR2, O, S; R2, R7, R8 = H, alkyl, aryl, amino, etc. or R2 and R8 taken together form an (un)substituted dinitrogen heterocycle; R5 = H, alkyl, alkenyl, alkynyl, benzyl, phenethyl; and Y2 = alkyl, cycloalkyl, bicycloalkyl, aryl, etc.; V = NR6, where R6 = H, alkyl, cycloalkyl, aralkyl, aryl, monocyclic heterocyclyl or R6 together with Y forms a mono-nitrogen-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl or Y and Z together or Y3 and Z3 together form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = X-R3, where X = O, S, or NR4 and R3 and R4 = H, alkyl, sugars, steroids, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.] or their pharmaceutically acceptable salts were prepared as vitronectin antagonists. Thus, 5-[(aminoiminomethyl)amino]-N-[2-[(2-carboxy-1-(3-bromo-5-chloro-2-hydroxyphenyl)ethyl)amino]-2-oxoethyl]-3-pyridinecarboxamide bis(trifluoroacetate) was prepared and showed IC50 = 1.58 nM for inhibition of human vitronectin receptor ($\alpha\beta 3$).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:290093 CAPLUS

DN 126:264011

TI Preparation of meta-guanidine, urea, thiourea or azacyclic amino benzoic acid derivatives as integrin antagonists

IN Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard John; Rico, Joseph Gerace; Rogers, Thomas Edward; Russell, Mark Andrew; et al.

PA G.D. Searle and Co., USA; Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard,

John
 SO PCT Int. Appl., 930 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9708145	A1	19970306	WO 1996-US13500	19960827
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM			US 1995-3277P	P 19950830
CA	2230209	AA	19970306	CA 1996-2230209	19960827
				US 1995-3277P	P 19950830
AU	9671039	A1	19970319	AU 1996-71039	19960827
AU	702487	B2	19990225	US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
EP	850221	A1	19980701	EP 1996-932142	19960827
EP	850221	B1	20010718		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
CN	1201454	A	19981209	CN 1996-197911	19960827
CN	1085980	B	20020605	US 1995-3277P	P 19950830
BR	9610422	A	19990713	BR 1996-10422	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
JP	11510814	T2	19990921	JP 1996-510397	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
IL	123164	A1	20010319	IL 1996-123164	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
AT	203234	E	20010815	AT 1996-932142	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
ES	2161373	T3	20011201	ES 1996-932142	19960827
				US 1995-3277P	P 19950830
RU	2196769	C2	20030120	RU 1998-105408	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
RO	118290	B1	20030430	RO 2001-1069	19960827
				US 1995-3277P	P 19950830
RO	118289	B1	20030430	RO 1998-500	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
PL	186370	B1	20031231	PL 1996-325312	19960827
				US 1995-3277P	P 19950830
				WO 1996-US13500W	19960827
ZA	9607379	A	19980330	ZA 1996-7379	19960830
				US 1995-3277P	P 19950830

NO 9800817	A	19980424	NO 1998-817	19980226
			US 1995-3277P	P 19950830
			WO 1996-US13500W	19960827
HK 1021532	A1	20020208	HK 1998-114666	19981228
			US 1995-3277P	P 19950830
			WO 1996-US13500W	19960827
GR 3036751	T3	20011231	GR 2001-401608	20010928
			US 1995-3277P	P 19950830
			WO 1996-US13500W	19960827

PATENT FAMILY INFORMATION:

FAN 2000:31349

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6013651	A	20000111	US 1998-34758	19980304
				US 1995-3277P	P 19950830
				US 1996-713555	A219960827
	US 6028223	A	20000222	US 1996-713555	19960827
				US 1995-3277P	P 19950830
	TW 458956	B	20011011	TW 1996-85115118	19961206
				US 1996-713555	A 19960827
	US 6100423	A	20000808	US 1999-261822	19990303
				US 1995-3277P	P 19950830
				US 1996-713555	A219960827
				US 1998-34758	A219980304

FAN 2000:547503

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6100423	A	20000808	US 1999-261822	19990303
				US 1995-3277P	P 19950830
				US 1996-713555	A219960827
				US 1998-34758	A219980304
	US 6028223	A	20000222	US 1996-713555	19960827
				US 1995-3277P	P 19950830
	TW 458956	B	20011011	TW 1996-85115118	19961206
				US 1996-713555	A 19960827
	US 6013651	A	20000111	US 1998-34758	19980304
				US 1995-3277P	P 19950830
				US 1996-713555	A219960827

OS MARPAT 126:264011

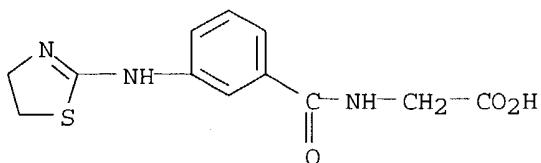
IT 188812-75-1P 188813-15-2P 188813-98-1P
 188814-01-9P 188814-42-8P 188814-74-6P
 188814-82-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

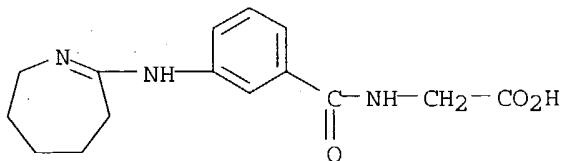
(intermediate; preparation of meta-guanidino, -ureido, -thioureido, or -azacyclic-amino benzoic acid derivs. as integrin antagonists)

RN 188812-75-1 CAPLUS

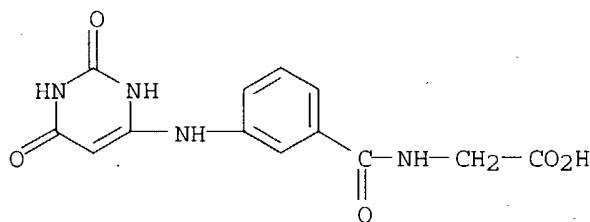
CN Glycine, N-[3-[(4,5-dihydro-2-thiazolyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



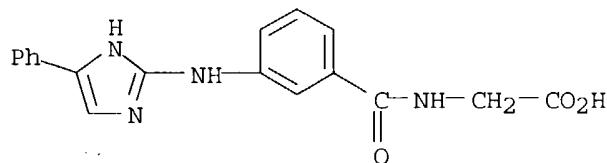
RN 188813-15-2 CAPLUS
 CN Glycine, N-[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]benzoyl]- (9CI)
 (CA INDEX NAME)



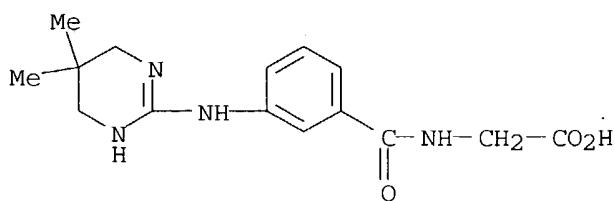
RN 188813-98-1 CAPLUS
 CN Glycine, N-[3-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 188814-01-9 CAPLUS
 CN Glycine, N-[3-[(4-phenyl-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 188814-42-8 CAPLUS
 CN Glycine, N-[3-[(1,4,5,6-tetrahydro-5,5-dimethyl-2-pyrimidinyl)amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

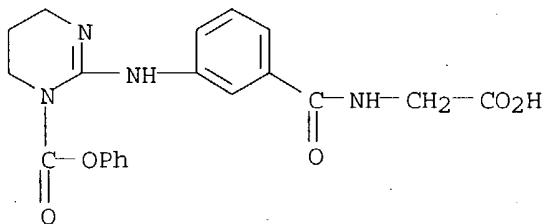
RN 188814-74-6 CAPLUS

CN 1(4H)-Pyrimidinecarboxylic acid, 2-[[3-[(carboxymethyl)amino]carbonyl]phenyl]amino]-5,6-dihydro-, 1-phenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 188814-73-5

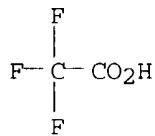
CMF C20 H20 N4 O5



CM 2

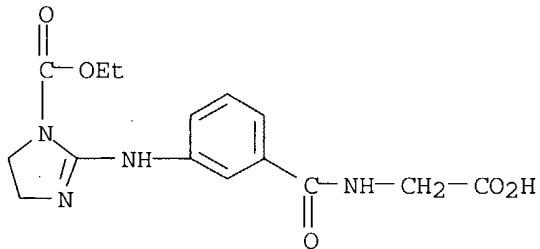
CRN 76-05-1

CMF C2 H F3 O2



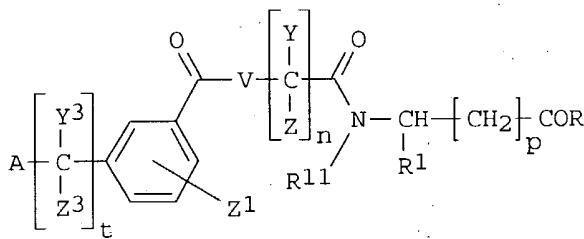
RN 188814-82-6 CAPLUS

CN 1H-Imidazole-1-carboxylic acid, 2-[[3-[(carboxymethyl)amino]carbonyl]phenyl]amino]-4,5-dihydro-, 1-ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

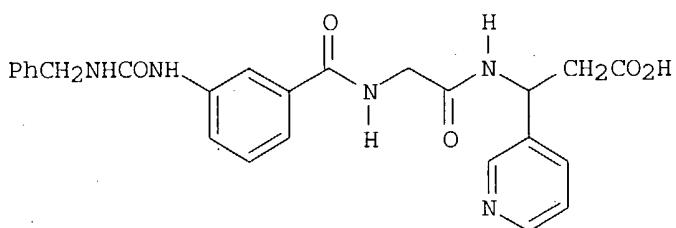


● HCl

GI



I

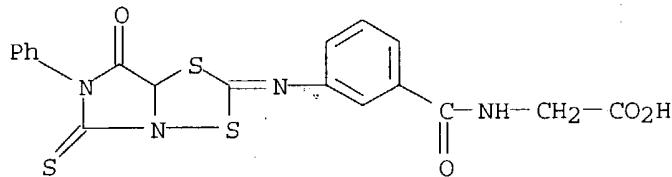


II

AB The title compds. I [A = (un)substituted ureido, guanidino, etc. (generic structures given); Z1 = H, alkyl, OH, alkoxy, halo, (di)(alkyl)amino, aryl, etc.; V = NR6; R6 = H, alkyl, etc.; or YR6 forms a 4- to 12-membered mono-N-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl; or YZ or Y3Z3 form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = XR3; X = O, S, NH, etc.; R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, etc.; R11 = H, alkyl, aralkyl, etc.] are prepared. For example, m-nitrohippuric acid was subjected to a sequence of (1) amidation with Et 3-amino-3-(3-pyridyl)propanoate-2HCl; (2) hydrogenation of the nitro group; (3) reaction of the formed amine with benzyl isocyanate; and (4) alkaline saponification of the ester, to give title compound II, isolated as the CF3CO2H or HCl salt. In an in vitro assay for antagonism of human vitronectin receptor (α V β 3), the title compound II.HCl bound with an IC50 of 0.86 nM.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:763950 CAPLUS
 DN 123:135764
 TI Synthesis of new peptidyl imidazodithi(and -thiadi)azoles as potential fungicides.
 AU Yadav, Lal Dhar S.; Shukla, Supriya
 CS Department of Chemistry, University of Allahabad, Allahabad, 211 002, India
 SO Journal of Agricultural and Food Chemistry (1995), 43(9), 2526-9
 CODEN: JAFCAU; ISSN: 0021-8561
 PB American Chemical Society
 DT Journal
 LA English
 IT 165127-80-0P
 RL: AGR (Agricultural use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation as fungicide)
 RN 165127-80-0 CAPLUS

CN Glycine, N-[3-[(tetrahydro-7-oxo-6-phenyl-5-thioxoimidazo[1,5-b] [1,4,2]dithiazol-2-ylidene)amino]benzoyl]- (9CI) (CA INDEX NAME)

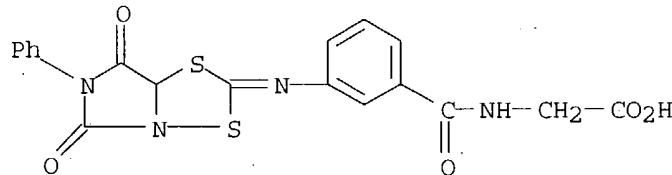


IT 165127-84-4P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as fungicide)

RN 165127-84-4 CAPLUS

CN Glycine, N-[3-[(tetrahydro-5,7-dioxo-6-phenylimidazo[1,5-b] [1,4,2]dithiazol-2-ylidene)amino]benzoyl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB (4-Oxo-3-phenyl-2-thioxoimidazolidin-5-yl) N-aryldithiocarbamates, obtained by the reaction of 5-bromo-3-phenyl-2-thiohydantoin and ammonium N-aryldithiocarbamates, underwent chemoselective intramol. heterocyclizations with iodine and SOC12 to yield 2-(arylimino)-6-phenyl-5-thioxoperhydroimidazo[1,5-d][1,3,4]dithiazole-7-thiones (I, m- or p-CO2H) and 3,6-diaryl-2,5-dithioxoperhydroimidazo[5,1-b][1,3,4]thiadiazol-7-ones (II), resp. I and II were converted into the corresponding 2- and 3-peptidyl derivs. III (R = H or Me) and IV (R = H or Me). III and IV on dethio-oxygenation furnished the corresponding diones V (R = H or Me) and VI (R = H or Me). Fungitoxicities of some compds. were evaluated in vitro against Alternaria solani and Fusarium oxysporum. Several compds. displayed activities comparable with that of Dithane M-45. Structure-activity relationships for the tested compds. are discussed.

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:103657 CAPLUS

DN 102:103657

TI Photothermographic color imaging process

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59174835	A2	19841003	JP 1983-48753	19830325
	JP 02051495	B4	19901107		
	US 5064742	A	19911112	US 1990-504068	19900329
				JP 1983-48753	19830325
				US 1984-592203	19840322

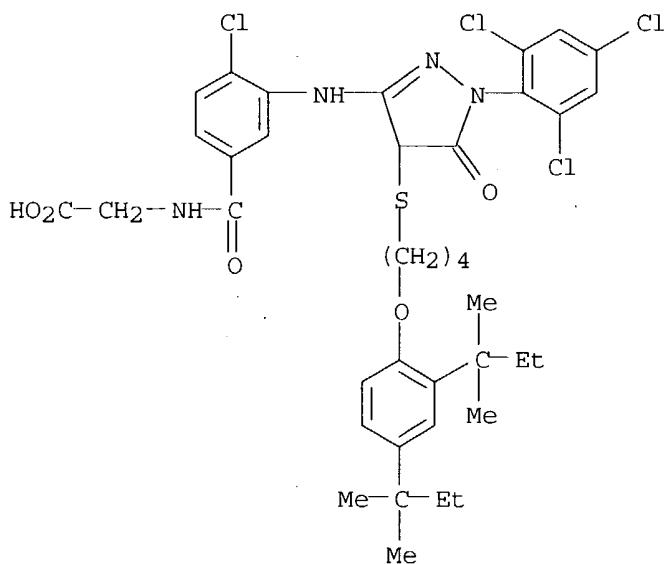
IT 94973-29-2

RL: USES (Uses)

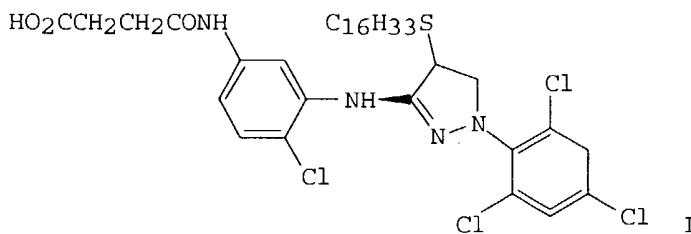
(color diffusion-transfer photothermog. coupler)

RN 94973-29-2 CAPLUS

CN Glycine, N-[3-[4-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]thio]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-3-yl]amino]-4-chlorobenzoyl] - (9CI) (CA INDEX NAME)



GI



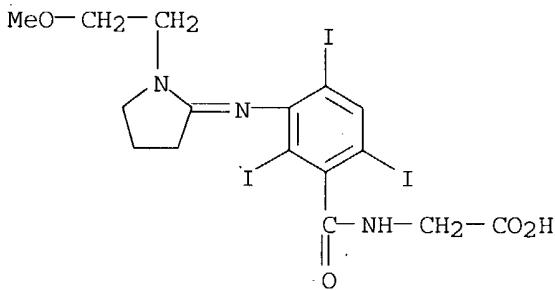
AB A photothermog. process is claimed in which a photosensitive sheet containing Ag halide, a hydrophilic binder, a reducing agent (for Ag halide), and a diffusion-resistant 2-equivalent coupler which forms a diffusible hydrophilic dye is imagewise exposed and developed to form diffusible dye images, and

the dye images are transferred onto a dye-mordanting layer at an elevated temperature in the presence of a hydrophilic low m.p. Thus, a polyester film support was coated with a composition containing gelatin and Me acrylate-trimethyl(vinylbenzyl)ammonium chloride copolymer and coated with a high temperature solvent composition containing urea, poly(vinyl alc.), p-C9H19C6H4O(CH2CH2O)8H, and Na dodecylbenzenesulfonate to give a receptor sheet. Sep., another film support was coated with a composition containing Ag(Br,Cl) emulsion, I, guanidine trichloroacetate, 2,6-dichloro-4-aminophenol and p-C9H19C6H4O(CH2CH2O)8H to give a photothermog. film. The film was imagewise exposed, heated at 130°, then contacted with the receptor sheet and heated at 120° to form clear magenta dye images on the receptor.

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1975:409777 CAPLUS
 DN 83:9777
 TI Iodine-substituted cyclic amidines as x-ray contrast agents
 IN Obendorf, Werner; Schwarzinger, Ernst; Krieger, Josef; Lindner, Irmgard
 PA Chemie Linz A.-G., Austria
 SO Austrian, 7 pp.
 CODEN: AUXXAK
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	AT 319226	B	19741210	AT 1972-6598	19720731
				AT 1972-6598	19720731

IT 55580-18-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 55580-18-2 CAPLUS
 CN Glycine, N-[2,4,6-triido-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

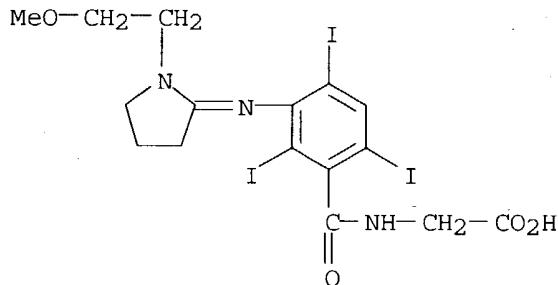
GI For diagram(s), see printed CA Issue.
 AB Pyrrolidinylideneaminobenzoylaminopropionates I (R = Me, CH2CH2OH, CH2CH2OMe, H, Et, (CH2)3OMe, cyclohexyl, Ph, OMe; R1 = H, Me, allyl, CHMe2, Et, (CH2)3OMe; R2 = H, Me, Et; R3 = H, Me) and some related compds. (30 compds.) were prepared as contrast media for gallbladder radiog. Thus 106.6 g 2,4,6,3-I3(H2N)C6HCOCl was treated with 100 ml N-methylpyrrolidone

and 30.7 g of the product treated with 14.4 g MeNHCH₂CHMeCO₂Me to give 19 g I (R-R₂ = Me, R₃ = H).

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1975:160245 CAPLUS
 DN 82:160245
 TI X-ray contrast medium
 IN Obendorf, Werner; Schwarzinger, Ernst; Krieger, Josef; Lindner, Irmgard
 PA Chemie Linz A.-G.
 SO Austrian, 7 pp.
 CODEN: AUXXAK
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	AT 319463	B	19741227	AT 1972-6601	19720731
				AT 1972-6601	19720731

IT 52545-41-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 52545-41-2 CAPLUS
 CN Glycine, N-[2,4,6-triido-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
 AB I (X = CONR₁, Y = a straight or branched alkylene or xy = y, R and R₁ = H, alkyl, hydroxyalkyl, methoxyalkyl, cycloalkyl, aryl, or aralkyl) are useful contrast mediums of low toxicity and rapid clearance from the body. The pyrrolidine ring may be replaced by 3,4,5,6-tetrahydro-(2H)-azepine. For example, N-methyl-2-pyrrolidinone [872-50-4] and POC₁₃ are added to a solution of 3-amino-2,4,6-triiodobenzoyl chloride [51935-27-4] in CHCl₃, and the mixture refluxed for 2 hr, to yield 3-(1-methyl-2-pyrrolidinylideneamino)-2,4,6-triiodobenzoyl chloride [52545-26-3]. A solution of this chloride and MeHNCH₂CHMeCO₂Me [21388-25-0] in CHCl₃ was refluxed for 20 min. and the acid chloride hydrolyzed, to give I (XY = CONMeCH₂CHMe, R = Me) [52545-27-4]. A tablet formulation was given for I (XY = CONHCH₂CH₂, R = MeOCH₂CH₂) [52545-31-0].

L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1974:108358 CAPLUS
 DN 80:108358
 TI Cyclic amidines for x-ray contrast medium
 IN Oberndorf, Werner; Lindner, Irmgard; Schwarzinger, Ernst; Krieger, Josef
 PA Lentia G.m.b.H., Chem. u. Pharm. Erzeugnisse-Industriebedarf
 SO Ger. Offen., 28 pp.
 CODEN: GWXXBX

DT Patent

LA German

FAN CNT 1

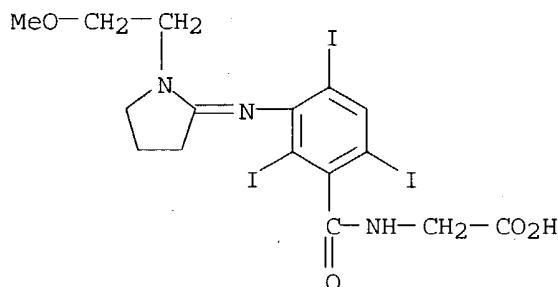
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2235915	A1	19740207	DE 1972-2235915	19720721
	DE 2235915	B2	19760422		
	DE 2235915	C3	19761209		
	FI 56679	B	19791130	FI 1973-2021	19730621
	FI 56679	C	19800310		
				DE 1972-2235915	19720721
	CS 184331	P	19780831	CS 1973-4962	19730710
				DE 1972-2235915	19720721
	SE 393608	B	19770516	SE 1973-9733	19730711
				DE 1972-2235915	19720721
	GB 1393231	A	19750507	GB 1973-33383	19730712
				DE 1972-2235915	19720721
	ZA 7304789	A	19740626	ZA 1973-4789	19730713
				DE 1972-2235915	19720721
	HU 166462	P	19750328	HU 1973-OE204	19730713
				DE 1972-2235915	19720721
	CA 991191	A1	19760615	CA 1973-176494	19730716
				DE 1972-2235915	19720721
	DD 107909	Z	19740820	DD 1973-172323	19730717
				DE 1972-2235915	19720721
	DK 138580	C	19790305	DK 1973-3936	19730717
	DK 138580	B	19781002		
				DE 1972-2235915	19720721
	FR 2193620	A1	19740222	FR 1973-26276	19730718
				DE 1972-2235915	19720721
	CH 592451	A	19771031	CH 1973-10588	19730719
				DE 1972-2235915	19720721
	NL 7310135	A	19740123	NL 1973-10135	19730720
				DE 1972-2235915	19720721
	JP 49055658	A2	19740530	JP 1973-80759	19730720
JP 53031866	B4	19780905			
			DE 1972-2235915	19720721	
US 3925412	A	19751209	US 1973-381336	19730720	
			DE 1972-2235915	19720721	
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			FR 1973-26276	19730718
SU 578866	D	19771030	SU 1974-2074276	19741110
			DE 1972-2235915	19720721

IT **52545-41-2P**RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52545-41-2 CAPLUS

CN Glycine, N-[2,4,6-triido-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

GI For diagram(s), see printed CA Issue.

AB About twenty-eight cyclic amidines [I; R = carboxyalkyl or (carboxyalkyl)-carbamoyl; R1 = H Me, Et, (CH₂)₂OH, Ph, cyclohexyl, or (CH₂)_nOMe, n = 0, 2, or 3], useful as x-ray contrast medium especially for the cholecystog., were prepared by reaction of the pyrrolidinones II and POCl₃ with III (R = carboxyalkyl) or with III (R = COCl) and subsequent reaction with an alkyl aminoalkanecarboxylate followed by saponification

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:965163 CAPLUSDN **138:39539**

TI Preparation of amino acid derivatives as inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Greg B.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.

PA University of Pittsburgh, USA

SO U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193596	A1	20021219	US 2001-984411	20011030

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EP 986384	A1	20000322	EP 1998-922122	19980507																																																																																																																																																																																					
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			US 1997-852858	A 19970507																																																																																																																																																																																					
			WO 1998-US9296	W 19980507																																																																																																																																																																																					
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			US 1997-852858	A 19970507																																																																																																																																																																																					
MX 9910186	A	20000630	MX 1999-10186	19991105																																																																																																																																																																																					

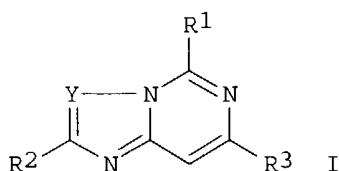
			US 1997-852858 A 19970507		
			WO 1998-US9296 W 19980507		
FAN	1998:744941	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850030	A1	19981112	WO 1998-US9297	19980507
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1997-852858 A 19970507	
				TW 1998-87107182	19980715
				US 1997-852858 A 19970507	
FAN	1998:744942	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850031	A1	19981112	WO 1998-US9298	19980507
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1997-852858 A 19970507	
	AU 9873719	A1	19981127	AU 1998-73719	19980507
				US 1997-852858 A 19970507	
				WO 1998-US9298 W 19980507	
	TW 492955	B	20020701	TW 1998-87107182	19980715
				US 1997-852858 A 19970507	
FAN	2001:195207	KIND	DATE	APPLICATION NO.	DATE
PI	US 6204293	B1	20010320	US 1998-73807	19980507
				US 1995-7247P	P 19951106
				US 1996-740909	B219961105
				US 1997-852858	B219970507
FAN	2001:297641	KIND	DATE	APPLICATION NO.	DATE
PI	US 6221865	B1	20010424	US 1998-73795	19980507
				US 1995-7247P	P 19951106
				US 1996-740909	B219961105
				US 1997-852858	B219970507
FAN	2001:792340	KIND	DATE	APPLICATION NO.	DATE
PI	US 6310095	B1	20011030	US 1998-73794	19980507
				US 1995-7247P	P 19951106
				US 1996-740909	B219961105
				US 1997-852858	B219970507
	ZA 9906763	A	20000515	ZA 1999-6763	19991027
				US 1998-73794	A 19980507
				US 1998-197279	A 19981120
OS	MARPAT 138:39539				
AB	Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(O) _m -L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.;				

$m = 0-2$; Z is a covalent bond, O , $S(O)m$, an imino group; $R3 =$ (un)substituted pyridyl or imidazolyl; or $L1$, Z , and $R3$ together are aminoalkyl, haloalkyl, halo, carboxaldehyde, (carboxaldehyde)alkyl, or hydroxyalkyl ($R1 \neq H$) or $L1$, Z , $R3$, and $R4$ together are an (un)substituted pyrrolidinone ring] were prepared as inhibitors of protein isoprenyl transferases. Thus, N -[4-(3-pyridylcarbonylamino)-2-phenylbenzoyl]methionine hydrochloride, prepared via amidation reaction, showed 93% inhibition of farnesyl transferase at 1×10^{-5} M.

L7 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:791912 CAPLUS
 DN 135:344503
 TI Preparation of imidazopyrimidines and triazolopyrimidines as inhibitors of Syk tyrosine kinase
 IN Yura, Takeshi; Conception, Arnel B.; Hahn, Kyun Hee; Hiraoka, Makiko; Katsumada, Hiroko; Kawamura, Norihiro; Kokubo, Toshio; Komura, Hiroshi; Lee, Young Ho; Lowinger, Timothy B.; Motegi, Munehito; Yamamoto, Tomoyuki; Yoshida, Osahiro
 PA Bayer A.-G., Germany
 SO Jpn. Kokai Tokkyo Koho, 212 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001302667	A2	20011031	JP 2000-128870	20000428
	WO 2001083485	A1	20011108	WO 2001-EP4357	20010417
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-128870 A	20000428
	EP 1278750	A1	20030129	EP 2001-936242	20010417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
	BR 2001010404	A	20030211	BR 2001-10404	20010417
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
	JP 2003535067	T2	20031125	JP 2002-500795	20010417
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
	BG 107166	A	20030630	BG 2002-107166	20021003
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
	NO 2002005154	A	20021025	NO 2002-5154	20021025
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
	US 2004054179	A1	20040318	US 2003-258628	20030214
				JP 2000-128870 A	20000428
				WO 2001-EP4357 W	20010417
OS	MARPAT 135:344503				

GI



AB The title compds. [I; R1 = X-R4, (un)substituted 4- to 5-membered (un)saturated heterocyclyl containing ≤ 4 heteroatoms selected from O, N, and S, 4 to 7-membered (un)saturated carbocyclyl, 7 to 10-membered (un)saturated condensed ring moiety optionally containing ≤ 4 heteroatoms selected from O, N, and S [wherein X = (un)substituted CH₂, O, S, SO, SO₂, (un)substituted NH; R4 = (un)substituted C₇-10 aroyl, C₇-10 aralkyl, C₁-10 alkyl, C₂-10 alkenyl, C₃-7 (un)saturated carbocyclyl, 4 to 7-membered (un)saturated heterocyclyl containing ≤ 4 heteroatoms selected from O, N, and S, 7 to 10-membered (un)saturated condensed ring moiety optionally containing ≤ 4 heteroatoms selected from O, N, and S]; Y = CH, N; R2 = H, (un)substituted C₁-10 alkyl, NR₈COR₉, NR₈CO₂R₉, COR₈, CO₂R₉, CONR₈R₉ [wherein R₈, R₉ = H, (un)substituted C₁-6 alkyl]; R3 = (un)substituted aryl or heteroaryl] or salts thereof are prepared. These compds. are useful as antiallergic agent for the prevention or treatment of asthma, allergic rhinitis, atopic dermatitis, food allergy, contact allergy, hives, conjunctivitis, and vernal (spring) catarrh, or as immunosuppressants, anticoagulants, or antitumor agents. Thus, 5-chloro-7-(3,4-dimethoxyphenyl)imidazo[1,2-c]pyrimidine, 1-(4-fluorophenyl)piperazine dihydrochloride, diisopropylethylamine, and 2-propanol were heated at 90° with stirring to give 64.6% 7-(3,4-dimethoxyphenyl)-5-[4-(4-fluorophenyl)piperazin-1-yl]imidazo[1,2-c]pyrimidine which showed IC₅₀ of ≤ 0.5 μ M against Syk tyrosine kinase.

L7 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:842104 CAPLUS

DN 134:29204

TI Preparation of benzamidines and arylamidines as inhibitors of factor Xa

IN Zhu, Bing-Yan; Zhang, Penglie; Scarborough, Robert M.

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN . CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000071508	A2	20001130	WO 2000-US14208	20000524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 1999-135849PP 19990524

EP 1185508 A2 20020313 EP 2000-932732 20000524
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 US 1999-135849PP 19990524

JP 2003500383 T2 20030107 JP 2000-619765 20000524
 US 1999-135849PP 19990524
 WO 2000-US14208W 20000524

US 6638980 B1 20031028 US 2000-576633 20000524
 US 1999-135849PP 19990524

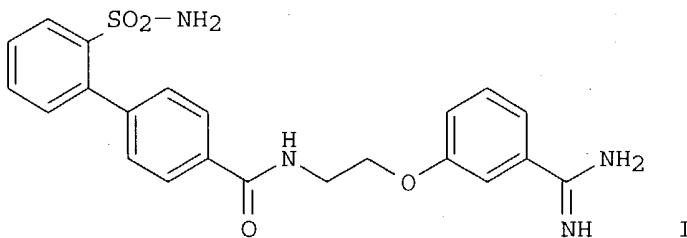
PATENT FAMILY INFORMATION:

FAN 2000:842106

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071510	A2	20001130	WO 2000-US14195	20000524
	WO 2000071510	A3	20010830		
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	EP 1183235	A2	20020306	EP 2000-937700	20000524
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	JP 2003500385	T2	20030107	WO 2000-US14195W	20000524
	US 6638980	B1	20031028	JP 2000-619767	20000524
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				WO 2000-US14195W	20000524
				US 2000-576633	20000524
				US 1999-135849PP 19990524	
FAN	2000:842107				
PI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	WO 2000071511	A2	20001130	WO 2000-US14205	20000524
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	EP 1185509	A2	20020313	EP 2000-942640	20000524
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1999-135849PP 19990524	

JP 2003500386	T2	20030107	WO 2000-US14205W 20000524
US 6638980	B1	20031028	JP 2000-619768 20000524
			US 1999-135849PP 19990524
			WO 2000-US14205W 20000524
			US 2000-576633 20000524
			US 1999-135849PP 19990524

OS MARPAT 134:29204
 GI



AB AYDEGJZL [wherein A = (cyclo)alkyl, (un)substituted amino, imino, amidino, guanidino, Ph, naphthyl, heterocyclic ring, etc.; Y = bond, CH₂, CO, NR₄CH₂, CH₂NR₄, NR₄, CONR₄, NR₄CO, C(:NR₄), C(:N₄)NR₄a, C(:NR₄)CH₂, C(:NR₄)NR₄aCH₂, SO₂, O, SO₂NR₄, or NR₄SO₂; R₄ and R₄a = independently H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alkylphenyl or alkynaphthyl; D = bond, (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR₅CO, NR₅CONR₆, SO₂NR₅, NR₅SO₂NR₆, NR₅SO₂NR₆CO; R₅ and R₆ = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkynaphthyl, alkylheteroaryl, carboxyalkyl, carbamidoalkyl, etc.; G = (un)substituted methylene, ethylene, or propylene; J = bond, CONR₁₁, NR₁₁CO, NR₁₁, NR₁₁CH₂, O, S, SO₂, SO, OCH₂, or SO₂CH₂; R₁₁ = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkynaphthyl, or alkylheteroaryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR₁₂NR₁₃, (CH₂)₀₋₂NR₁₂R₁₃, C(:NR₁₂)NR₁₂R₁₃, NR₁₂R₁₃, OR₁₂, NR₁₂C(:NR₁₂)NR₁₂N₁₃, or NR₁₂C(:N₁₂)R₁₃; R₁₂ and R₁₃ = independently H, OH, alkyl, (un)substituted alkoxy, (di)alkylamino, alkylphenyl, alkynaphthyl, carboxyalkyl, etc.] were prepared as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, N-tert-butoxycarbonylglycinol was condensed with 3-cyanophenol in the presence of PPh₃ and DEAD in CH₂Cl₂ (93%), and the amine deprotected and converted to the salt using TFA. Reaction of the TFA amine salt with 2'-(tert-butylaminosulfonyl)-4-biphenylcarboxylic acid in the presence of BOP and i-Pr₂NET in DMF gave the amide (84%). The benzonitrile was converted to the desired benzamidine salt (I•TFA) in 85% yield by bubbling HCl gas through a solution of the amide intermediate in MeOH, followed by neutralization and workup using 0.5% TFA in H₂O/MeCN. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:744940 CAPLUS
 DN 130:25338
 TI Inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorensen, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick, James I.; Winn, Martin

PA University of Pittsburgh, USA

SO PCT Int. Appl., 848 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850029	A1	19981112	WO 1998-US9296	19980507
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1997-852858 A	19970507
AU	9874733	A1	19981127	AU 1998-74733	19980507
				US 1997-852858 A	19970507
				WO 1998-US9296 W	19980507
EP	986384	A1	20000322	EP 1998-922122	19980507
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			US 1997-852858 A	19970507
				WO 1998-US9296 W	19980507
JP	2002518985	T2	20020625	JP 1998-548480	19980507
				US 1997-852858 A	19970507
				WO 1998-US9296 W	19980507
TW	492955	B	20020701	TW 1998-87107182	19980715
				US 1997-852858 A	19970507
MX	9910186	A	20000630	MX 1999-10186	19991105
				US 1997-852858 A	19970507
				WO 1998-US9296 W	19980507

PATENT FAMILY INFORMATION:

FAN 1997:436061

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9717070	A1	19970515	WO 1996-US17092	19961105
	W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1995-7247P	P 19951106
AU	9675975	A1	19970529	AU 1996-75975	19961105
				US 1995-7247P	P 19951106
				WO 1996-US17092W	19961105
ZA	9609273	A	19980505	ZA 1996-9273	19961105
				US 1995-7247P	P 19951106
EP	873123	A1	19981028	EP 1996-938647	19961105
EP	873123	B1	20030409		
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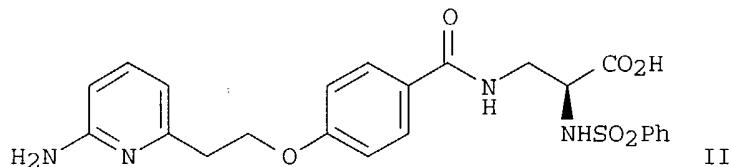
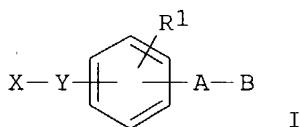
JP 2000500745	T2	20000125	WO 1996-US17092W 19961105 JP 1997-518208 19961105 US 1995-7247P P 19951106 WO 1996-US17092W 19961105
AT 236632	E	20030415	AT 1996-938647 19961105 US 1995-7247P P 19951106 WO 1996-US17092W 19961105
ES 2196186	T3	20031216	ES 1996-938647 19961105 US 1995-7247P P 19951106
FAN 1998:744941			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI WO 9850030	A1	19981112	WO 1998-US9297 19980507
W: CA, JP, MX			
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
TW 492955	B	20020701	US 1997-852858 A 19970507 TW 1998-87107182 19980715 US 1997-852858 A 19970507
FAN 1998:744942			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI WO 9850031	A1	19981112	WO 1998-US9298 19980507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9873719	A1	19981127	US 1997-852858 A 19970507 AU 1998-73719 19980507 US 1997-852858 A 19970507 WO 1998-US9298 W 19980507
TW 492955	B	20020701	TW 1998-87107182 19980715 US 1997-852858 A 19970507
FAN 2001:195207			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 6204293	B1	20010320	US 1998-73807 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507
FAN 2001:297641			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 6221865	B1	20010424	US 1998-73795 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507
FAN 2001:792340			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 6310095	B1	20011030	US 1998-73794 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507

ZA 9906763	A	20000515	ZA 1999-6763	19991027
			US 1998-73794	A 19980507
			US 1998-197279	A 19981120
FAN 2002:965163	KIND	DATE	APPLICATION NO.	DATE
PATENT NO.	-----	-----	-----	-----
PI US 2002193596	A1	20021219	US 2001-984411	20011030
US 6693123	B2	20040217	US 1995-7247P	P 19951106
			US 1996-740909	B219961105
			US 1997-852858	B219970507
OS MARPAT 130:25338				
AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4NR5L5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclic, cycloalkyl, etc.] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepared via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M.				
RE.CNT 2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD			
	ALL CITATIONS AVAILABLE IN THE RE FORMAT			
L7 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN				
AN 1998:250700 CAPLUS				
DN 128:295059				
TI Preparation of pyridyl- and naphthyridylalkoxybenzoyl- α - (phenylsulfonylamino)- β -alanine derivatives and analogs for inhibiting osteoclast-mediated bone resorption				
IN Hartman, George D.; Duggan, Mark E.; Hoffman, William F.; Ihle, Nathan C.				
PA Merck and Co., Inc., USA				
SO U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 250,218, abandoned.				
	CODEN: USXXAM			
DT Patent				
LA English				
FAN.CNT 2				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 5741796	A	19980421	US 1996-714097	19960926
			US 1994-250218	B219940527
			WO 1995-US5938	W 19950512
WO 9532710	A1	19951207	WO 1995-US5938	19950512
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5929120	A	19990727	US 1994-250218	A 19940527
			US 1998-15982	19980130
			US 1994-250218	B219940527
			US 1996-714097	A319960926
PATENT FAMILY INFORMATION:				
FAN 1996:181547	KIND	DATE	APPLICATION NO.	DATE
PATENT NO.	-----	-----	-----	-----
PI WO 9532710	A1	19951207	WO 1995-US5938	19950512

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ
 RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2190870	AA	19951207	US 1994-250218 A 19940527 CA 1995-2190870 19950512
AU 9525868	A1	19951221	US 1994-250218 A 19940527
AU 701776	B2	19990204	AU 1995-25868 19950512
EP 760658	A1	19970312	US 1994-250218 A 19940527 WO 1995-US5938 W 19950512
EP 760658	B1	20021113	EP 1995-920409 19950512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE US 1994-250218 A 19940527 WO 1995-US5938 W 19950512			
JP 10501222	T2	19980203	JP 1995-500899 19950512 US 1994-250218 A 19940527 WO 1995-US5938 W 19950512
AT 227567	E	20021115	AT 1995-920409 19950512 US 1994-250218 A 19940527 WO 1995-US5938 W 19950512
ES 2186720	T3	20030516	ES 1995-920409 19950512 US 1994-250218 A 19940527
US 5741796	A	19980421	US 1996-714097 19960926 US 1994-250218 B219940527 WO 1995-US5938 W 19950512

OS MARPAT 128:295059
 GI



AB Compds. of structure I [X = various amino, amidino, guanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxy carbonylalkyl, (di)(alkyl)aminoalkyl, aralkyl; R6, R7 = H, (di)alkylaminoalkyl, alkoxy carbonyl aminoalkyl, alkylsulfonyl aminoalkyl, alkyl carbonyl aminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, aryl dialkylaminocarbonylmethoxy; with provisos], are described which

inhibit osteoclast-mediated bone resorption. Specifically, the compds. are useful for treating mammals suffering from a bone condition caused or mediated by increased bone resorption, who are in need of such therapy. The compds. may be administered in oral dosage forms such as tablets, capsules, e.g. sustained release capsules, powders, granules, and suspensions. Syntheses of approx. 50 compds. in 37 synthetic examples are described. Thus, amidation of Me 4-[2-(4-aminopyridin-6-yl)ethoxy]benzoic acid (preparation given) with (R)-H2NCH2CH(NHSO2Ph)CO2CMe3·HCl (preparation given)

using EDC, N-hydroxybenzotriazole (HOEt), and N-methylmorpholine in DMF, followed by deprotection with CF₃CO₂H gave desired compound II. In EIB and OCFORM assays, prepared compds. I had values ranging 0.5-500 nM and 1-1000 nM, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:769193 CAPLUS
DN 128:88933
TI Preparation of triazine-containing anionic compounds and their use as antiviral agents
IN Gluzman, Yakov; Larocque, James Paul; O'Hara, Bryan Mark; Morin, John Edward; Ellestad, George Alfred; Mitsner, Boris; Ding, Wei Dond; Raifekd, Yuri Efimovich; Nikitenko, Antonina Aristotelev
PA American Cyanamid Co., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09309882	A2	19971202	JP 1997-28029	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	US 5852015	A	19981222	US 1997-789038	19970127
	SK 282598	B6	20021008	SK 1997-179	19970206
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	NO 9700652	A	19970814	NO 1997-652	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	CA 2197394	AA	19980727	CA 1997-2197394	19970212
				US 1997-789038	A 19970127
	IL 120206	A1	20000217	IL 1997-120206	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	RU 2170731	C2	20010720	RU 1997-102335	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	CZ 290450	B6	20020717	CZ 1997-423	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	NZ 328399	A	20010427	NZ 1997-328399	19970723
				US 1997-789038	A 19970127

PATENT FAMILY INFORMATION:

FAN 1997:632410

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 795549	A1	19970917	EP 1997-300905	19970212
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	US 5852015	A	19981222	US 1997-789038	19970127
	SK 282598	B6	20021008	SK 1997-179	19970206
					US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	NO 9700652	A	19970814	NO 1997-652	19970212
					US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	CA 2197394	AA	19980727	CA 1997-2197394	19970212
					US 1997-789038 A 19970127
	IL 120206	A1	20000217	IL 1997-120206	19970212
					US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	RU 2170731	C2	20010720	RU 1997-102335	19970212
					US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	CZ 290450	B6	20020717	CZ 1997-423	19970212
					US 1996-11542P P 19960213
					US 1997-789038 A 19970127
	NZ 328399	A	20010427	NZ 1997-328399	19970723
					US 1997-789038 A 19970127
FAN	1997:776761				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2197393	AA	19970814	CA 1997-2197393	19970212
					US 1996-11542P P 19960213
	CN 1163890	A	19971105	CN 1997-104706	19970212
	CN 1062860	B	20010307		
					US 1996-11542P P 19960213
	ZA 9701185	A	19980812	ZA 1997-1185	19970212
					US 1996-11542P P 19960213
	BR 9700939	A	19980901	BR 1997-939	19970212
					US 1996-11542P P 19960213
	AU 9714704	A1	19970821	AU 1997-14704	19970213
					US 1996-11542P P 19960213
	NZ 314225	A	20010330	NZ 1997-314225	19970213
					US 1996-11542P P 19960213
	TW 438797	B	20010607	TW 1997-86101818	19970213
					US 1996-11542P P 19960213
	AU 9734190	A1	19971030	AU 1997-34190	19970814
	AU 710536	B2	19990923		
					US 1996-11542P P 19960213
OS	MARPAT 128:88933				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. I [A = II, III, IV, V, VI, VII; R = SO₃H, OSO₃H, OH, CO₂H; B = NH, NR₁; R₁ = C₁₋₆ alkyl which may be substituted with Cl, Br, F, OH, cyano; X = Cl, F, VIII; U = SO₂, CO, NCO, NCS; W = N(YZ)₂, IX, X; Y = C(CH₂)_n; n = 0-6; m = 0-2; Z = H, Me, CF₃, CH₂X, CH₂OH, CO₂H, C₁₋₆

alkoxycarbonyl, CONR₂H, cyano, CHR₂OH; X = Cl, Br, F, I; R₂ = H, C₁-6 alkyl], their salts, or their esters are claimed. Also claimed are pharmaceutical compns. containing ≥ 1 I, their salts, or their esters for treatment of infection with respiratory syncytial virus (RSV), herpes simplex virus, HIV virus, cytomegalovirus, and influenza virus. 4,4'-Bis[4,6-di[3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimino]-1,3,5-triazin-2-ylamino]stilbene-2,2'-disulfonic acid, prepared from cyanuric chloride, 4,4'-diaminostilbene-2,2'-disulfonic acid, and 3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimine, inhibited plaque formation of RSV in Vero cells at IC₅₀ 0.1 μ g/mL. A small-particle aerosol of this compound also showed antiviral effect on cotton rats infected with RSV.

L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1997:632410 CAPLUS
 DN **127:307402**
 TI Preparation of bis-aryloxy(amino)-triazinyl-oxy(amino)aryl derivatives as antiviral agents
 IN Gluzman, Yakov; Larocque, James Paul; O'Hara, Bryan Mark; Morin, John Edward; Ellestad, George Alfred; Mitsner, Boris; Ding, Wei-Dong; Raifeld, Yuri Efimovich; Nikitenko, Antonina Aristotelev
 PA American Cyanamid Company, USA
 SO Eur. Pat. Appl., 40 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 795549	A1	19970917	EP 1997-300905	19970212
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	US 5852015	A	19981222	US 1997-789038	19970127
	SK 282598	B6	20021008	SK 1997-179	19970206
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	NO 9700652	A	19970814	NO 1997-652	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	CA 2197394	AA	19980727	CA 1997-2197394	19970212
				US 1997-789038	A 19970127
	IL 120206	A1	20000217	IL 1997-120206	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	RU 2170731	C2	20010720	RU 1997-102335	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	CZ 290450	B6	20020717	CZ 1997-423	19970212
				US 1996-11542P	P 19960213
				US 1997-789038	A 19970127
	NZ 328399	A	20010427	NZ 1997-328399	19970723
				US 1997-789038	A 19970127

PATENT FAMILY INFORMATION:

FAN 1997:769193

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09309882	A2	19971202	JP 1997-28029	19970212

US 5852015	A	19981222	US 1996-11542P P 19960213
SK 282598	B6	20021008	US 1997-789038 A 19970127
NO 9700652	A	19970814	US 1997-789038 19970127
CA 2197394	AA	19980727	SK 1997-179 19970206
IL 120206	A1	20000217	US 1996-11542P P 19960213
RU 2170731	C2	20010720	US 1997-789038 A 19970127
CZ 290450	B6	20020717	RU 1997-102335 19970212
NZ 328399	A	20010427	US 1996-11542P P 19960213
FAN 1997:776761			US 1997-789038 A 19970127
PATENT NO.	KIND	DATE	US 1997-789038 A 19970127
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PI CA 2197393	AA	19970814	CA 1997-2197393 19970212
CN 1163890	A	19971105	US 1996-11542P P 19960213
CN 1062860	B	20010307	CN 1997-104706 19970212
ZA 9701185	A	19980812	US 1996-11542P P 19960213
BR 9700939	A	19980901	ZA 1997-1185 19970212
AU 9714704	A1	19970821	US 1996-11542P P 19960213
NZ 314225	A	20010330	BR 1997-939 19970212
TW 438797	B	20010607	US 1996-11542P P 19960213
AU 9734190	A1	19971030	AU 1997-14704 19970213
AU 710536	B2	19990923	US 1996-11542P P 19960213
OS MARPAT 127:307402			US 1996-11542P P 19960213
GI			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II, III, etc.; C' = SO₃H, OSO₃H, OH, COOH; B' = NH, NH, N(C₁₋₆ alkyl); X = Cl, F, IV; U' = SO₂, CO, NC(O), NC(S); W' = N(YZ), V, VI; Y = (CH₂)_n; n = 0-6; m = 0-2; Z = H, CH₃, CF₃, etc.] and their salts, useful as pharmaceuticals, especially for treating viral infections, particularly infections by respiratory syncytial virus, herpes simplex virus, human immunodeficiency virus, and cytomegalovirus, were prepared. Thus, reaction of cyanuric chloride with 4,4'-diaminostilbene-2,2'-

disulfonic acid in the presence of NaOH in dioxane/phosphate buffer solution followed by addition of 3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimine in DMSO afforded 72% I.2Na+ {A = II; C' = H; B' = NH; X = IV; U'W' = 3-SO2N[(CH2)2CONH2]2} which showed IC50 of 0.3 μ G/mL against respiratory syncytial virus growth.

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:425672 CAPLUS
 DN **125:117384**
 TI Reactive dyes containing 5-cyano-2,4- or -4,6-dichloropyrimidyl groups and their use
 IN Auerbach, Guenther; Doerr, Markus; Doswald, Paul; Gisler, Markus; Koch, Werner; Moser, Helmut A.; Wald, Roland
 PA Sandoz Ltd., Switz.
 SO U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 627,168, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5527886	A	19960618	US 1992-899570	19920616
				US 1992-899570 A	19920616
				DE 1989-3941620B2	19891216
				US 1990-627168	19901214

PATENT FAMILY INFORMATION:

FAN 1992:153821

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2239024	A1	19910619	GB 1990-26925	19901212
	GB 2239024	B2	19930421		
	DE 4039866	A1	19910620	DE 1989-3941620A	19891215
	DE 4039866	C2	20031030	DE 1990-4039866	19901213
	FR 2655994	A1	19910621	DE 1989-3941620A1	19891216
	FR 2655994	B1	19971017	FR 1990-15751	19901213
	ES 2027869	A6	19920616	DE 1989-3941620A	19891216
				ES 1990-3193	19901213
	CH 681984	A	19930630	DE 1989-3941620A	19891216
				CH 1990-3970	19901214
	JP 06220348	A2	19940809	DE 1989-3941620A	19891216
	JP 2955373	B2	19991004	JP 1990-417742	19901217
	BR 9100491	A	19920922	DE 1989-3941620A	19891216
				BR 1991-491	19910206
				DE 1989-3941620	19891216

OS MARPAT 125:117384
 AB Fiber-reactive compds. of the formula $XO_2SZ_1QZ_2NR_1R_2$, and water-soluble salts thereof each cation of which is independently a non-chromophoric cation, and mixts. of such compds. or water-soluble salts, wherein Q is a chromophore-containing radical of a monoazo, disazo, polyazo, formazan, anthraquinone, dioxazine, phenazine, or azomethine dye, which is in metal-free or metal complex form, each of Z1 and Z2 is independently a direct bond or a bridging group which is attached to a carbon atom of an aromatic carbocyclic ring or to a carbon or nitrogen atom of an aromatic heterocyclic ring present in Q, X is vinyl or C2-4-alkylene-Y, wherein Y is hydroxy or a group which can be split off under alkaline conditions, R1 is

H, Cl-4-alkyl optionally monosubstituted by hydroxy, halo, cyano, sulfo, sulfato, or carboxy, and Z is the title group are obtained for dyeing or printing hydroxyl- or nitrogen-containing substrates. The reactive dyes have good application and fastness properties. Thus, 4-aminophenyl 2-sulfatoethyl sulfone-6-hydroxyl-4-methyl-1-[3-(methylamino)propyl]-2-pyridone was prepared and condensed with 5-cyano-2,4,6-trichloropyrimidine to give a reactive dye which was fast greenish yellow on cotton.

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:313785 CAPLUS

DN **124:336875**

TI Contrast media for liver imaging

IN Krause, Werner; Speck, Ulrich

PA Schering A.-G., Germany

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9603155	A1	19960208	WO 1995-EP2902	19950721
	W: AU, BY, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US, VN			DE 1994-4426439	19940726
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			DE 1994-4426439	19940726
	DE 4426439	C1	19960229	DE 1994-4426439	19940726
	AU 9531163	A1	19960222	AU 1995-31163	19950721
				DE 1994-4426439	19940726
				WO 1995-EP2902	19950721
	EP 773798	A1	19970521	EP 1995-926971	19950721
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			DE 1994-4426439	19940726
				WO 1995-EP2902	19950721
	JP 10502936	T2	19980317	JP 1995-505460	19950721
				DE 1994-4426439	19940726
				WO 1995-EP2902	19950721
	ZA 9506226	A	19960314	ZA 1995-6226	19950726
				DE 1994-4426439	19940726

OS MARPAT 124:336875

AB The invention concerns the use of a compound comprising at least one halogenated, preferably iodated and most preferably tri-iodated, aromatic compds., for use in imaging the liver with synchrotron radiation, approx. monochromatic x-rays, or x-rays of below a certain wavelength.

L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:227097 CAPLUS

DN **122:3567**

TI Safened salicylic acid derivative herbicides.

IN Bratz, Matthias; Vogelbacher, Uwe Josef; Rheinheimer, Joachim; Baumann, Ernst; Landes, Andreas; Walter, Helmut

PA BASF A.-G., Germany

SO Ger. Offen., 34 pp.

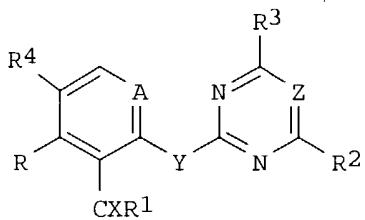
CODEN: GWXXBX

DT Patent

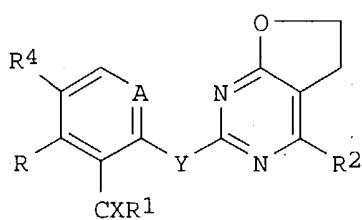
LA German

FAN.CNT 1

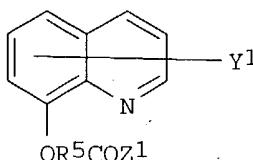
PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
-----		-----	-----	-----		-----
PI	DE 4310220	A1	19941006	DE 1993-4310220	19930330	
	WO 9422310	A1	19941013	WO 1994-EP862	19940318	
	W: AU, CA, HU, JP, KR, US					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			DE 1993-4310220	19930330	
AU	9464280	A1	19941024	AU 1994-64280	19940318	
				DE 1993-4310220	19930330	
				WO 1994-EP862	19940318	
OS	MARPAT 122:3567					
GI						



1



11



III

AB The salicylic acid derivative herbicides I and II [Z = N, CH; Y = O, S, (un)substituted NH; X = O, NR5, NOR5, NNHR5, NNR52; R = Cl, (un)substituted alkyl, alkynyl, alkenyl, etc.; R1 = H, alkyl, succinyliminoxy, etc.; R2, R3 = halo, (halo)alkyl, alkoxy, etc.; R4 = H; RR4 = 1,3-butadien-1,4-yl; R5 = H, alkyl, alkenyl, etc.] are safened with X1aC6H4WCOZ1 or III [X1 = H, NO2, halo, (halo)alkyl, alkoxy; Y1 = NO2, halo, (halo)alkyl, alkoxy; Z1 = (un)substituted OH, SH, NH2; W = divalent 5-membered heterocyclyl; R5 = (alkyl)alkylene; a, b = 1-5]. Thus, the phytotoxicity of I (R = Cl, R1 = ON:CMe2, R2 = R3 = OMe, R4 = H, X = O, Z = CH, Y = S) to barley was alleviated by Et 1-(2,4-dichlorophenyl)-5-isopropylpyrazol-3-ylcarboxylate.

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:509397 CAPLUS

DN 121:109397

TI Preparation of ester derivatives of 4-azasteroids as steroid 5 α -reductase inhibitors.

IN Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu
PA Merck and Co., Inc., USA

IA MECER and CO., INC., USA
SO PCT Int. Appl. 66 pp

50 FCI Inc. Appl
CODEN: P1XXD2

PT Patent

DI LA

HA Eng 1
FAN CNT 2

PATENT NO.

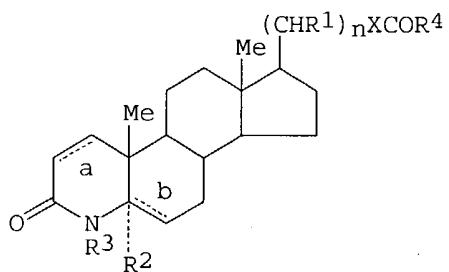
APPLICATION NO. DATE

PI	WO 9323041	A1	19931125	WO 1993-US4771	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886022	A219920520
AU	9342525	A1	19931213	AU 1993-42525	19930519
AU	668181	B2	19960426		
				US 1992-886022	A 19920520
				WO 1993-US4771	A 19930519
EP	649306	A1	19950426	EP 1993-911362	19930519
EP	649306	B1	20010110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				US 1992-886022	A 19920520
				WO 1993-US4771	W 19930519
JP	07508039	T2	19950907	JP 1993-503838	19930519
				US 1992-886022	A 19920520
				WO 1993-US4771	W 19930519
AT	198601	E	20010115	AT 1993-911362	19930519
				US 1992-886022	A 19920520
				WO 1993-US4771	W 19930519
US	5610162	A	19970311	US 1994-338573	19941117
				US 1992-886022	B219920520
				WO 1993-US4771	W 19930519

PATENT FAMILY INFORMATION:

FAN 1997:204394

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5610162	A	19970311	US 1994-338573	19941117
				US 1992-886022	B219920520
				WO 1993-US4771	W 19930519
WO	9323041	A1	19931125	WO 1993-US4771	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886022	A219920520

OS MARPAT 121:109397
GI

AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b =

double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH₂, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5 α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5 α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH₂Cl₂ at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5 α -4-azapregnan-3-one.

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:245602 CAPLUS
 DN **120:245602**
 TI Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid reductase inhibitors
 IN Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman K.; Yang, Shu Shu
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

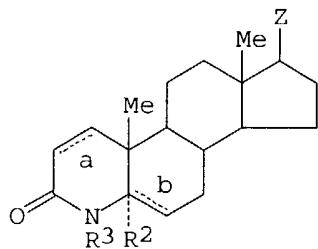
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323040	A1	19931125	WO 1993-US4746	19930519
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886031	A219920520
AU	9342521	A1	19931213	AU 1993-42521	19930519
AU	668180	B2	19960426		US 1992-886031 A 19920520
				WO 1993-US4746 A 19930519	
EP	641204	A1	19950308	EP 1993-911358	19930519
EP	641204	B1	20000816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
JP	07508038	T2	19950907	JP 1993-503831	19930519
				US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
AT	195530	E	20000915	AT 1993-911358	19930519
				US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
ES	2148229	T3	20001016	ES 1993-911358	19930519
				US 1992-886031 A 19920520	
US	5536727	A	19960716	US 1994-338572	19941117
				US 1992-886031 B219920520	
				WO 1993-US4746 W 19930519	

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5536727	A	19960716	US 1994-338572	19941117
				US 1992-886031 B219920520	
				WO 1993-US4746 W 19930519	

WO 9323040 A1 19931125 WO 1993-US4746 19930519
 W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
 NZ, PL, RO, RU, SD, SK, UA, US
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 US 1992-886031 A219920520

OS MARPAT 120:245602
 GI



AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepared as inhibitors of steroid 5 α -reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5 α -4-azaandrostan-3-one and diphenyldiazomethane in CH₂Cl₂ were treated dropwise with BF₃.Et₂O to give 17-diphenylmethoxymethyl-4-methyl-5 α -4-azaandrostan-3-one.

L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1993:428157 CAPLUS

DN **119:28157**

TI Preparation of 4-oxoquinazolines and triazolines as herbicides
 IN Barton, John Edward Duncan; Cartwright, David
 PA Imperial Chemical Industries PLC, UK
 SO Brit. UK Pat. Appl., 29 pp.

CODEN: BAXXDU

DT Patent

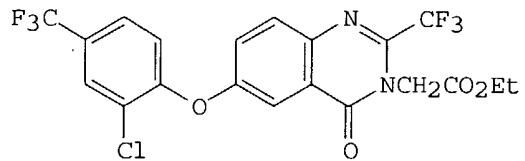
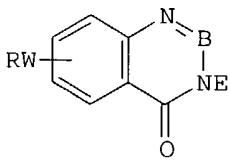
LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2257970	A1	19930127	GB 1992-15645	19920723
				GB 1991-16207	19910726

OS MARPAT 119:28157

GI



AB Title compds. [I; B = N, CR2; E = CR3R4XR5; R = (hetero)aryl; W = O, NR1; R1 = H, alkyl; R2 = H, (halo)alkyl, halo, cyano, etc.; R3, R4 = halo, alkyl, alkenyl, NH2, etc.; R5 = CO2H, alkoxy carbonyl, CONH2, cyano, CH2OH, etc.; X = (CH2)n, CH:CH, CH(OH)CH2, COCH2, etc.; n = 0-2] were prepared. Thus, 2-amino-5-(2-chloro-4-trifluoromethylphenoxy)benzamide was cyclocondensed with (CF3CO)2O and the product N-alkylated with ICH2CO2Et to give title compound II which gave 90-100% control of 5 weeds, e.g., Abutilon theophrasti, with no damage to rice or winter wheat at 0.25 kg/ha postemergent.

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:13416 CAPLUS

DN 116:13416

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03142277	A2	19910618	JP 1989-282319	19891030
				JP 1989-282319	19891030

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

=> log Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST	137.50	'560.91
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE	-15.25	-15.25
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STN INTERNATIONAL LOGOFF AT 10:51:26 ON 28 APR 2004